

15/05/2006

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PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * Welcome to STN International * * * * *

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	JAN 17	Pre-1988 INPI data added to MARPAT
NEWS	4	FEB 21	STN AnaVist, Version 1.1, lets you share your STN AnaVist visualization results
NEWS	5	FEB 22	The IPC thesaurus added to additional patent databases on STN
NEWS	6	FEB 22	Updates in EPFULL; IPC 8 enhancements added
NEWS	7	FEB 27	New STN AnaVist pricing effective March 1, 2006
NEWS	8	MAR 03	Updates in PATDPA; addition of IPC 8 data without attributes
NEWS	9	MAR 08	X.25 communication option no longer available after June 2006
NEWS	10	MAR 22	EMBASE is now updated on a daily basis
NEWS	11	APR 03	New IPC 8 fields and IPC thesaurus added to PATDPAFULL
NEWS	12	APR 03	Bibliographic data updates resume; new IPC 8 fields and IPC thesaurus added in PCTFULL
NEWS	13	APR 04	STN AnaVist \$500 visualization usage credit offered
NEWS	14	APR 12	LINSPEC, learning database for INSPEC, reloaded and enhanced
NEWS	15	APR 12	Improved structure highlighting in FQHIT and QHIT display in MARPAT
NEWS	16	APR 12	Derwent World Patents Index to be reloaded and enhanced during second quarter; strategies may be affected
NEWS	17	MAY 10	CA/CAPLUS enhanced with 1900-1906 U.S. patent records
NEWS	18	MAY 11	KOREAPAT updates resume
NEWS EXPRESS			FEBRUARY 15 CURRENT VERSION FOR WINDOWS IS V8.01a, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005. V8.0 AND V8.01 USERS CAN OBTAIN THE UPGRADE TO V8.01a AT http://download.cas.org/express/v8.0-Discover/
NEWS HOURS			STN Operating Hours Plus Help Desk Availability
NEWS LOGIN			Welcome Banner and News Items
NEWS IPC8			For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * *

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COMPLETE THE STN SURVEY - APRIL 27 THROUGH MAY 31

Dear valued STN customer,

In an effort to enhance your experience with STN, we would like to better understand what you find useful. Please take approximately 5 minutes to complete a web survey.

If you provide us with your name, login ID, and e-mail address, you will be entered in a drawing to win a free iPod(R). Your responses will be kept confidential and will help us make future improvements to STN.

Take survey: <http://www.zoomerang.com/survey.zgi?p=WEB2259HNKWTUW>

Thank you in advance for your participation.

* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 12:44:42 ON 15 MAY 2006

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.42

0.42

FILE 'REGISTRY' ENTERED AT 12:45:51 ON 15 MAY 2006

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 14 MAY 2006 HIGHEST RN 884198-07-6

DICTIONARY FILE UPDATES: 14 MAY 2006 HIGHEST RN 884198-07-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

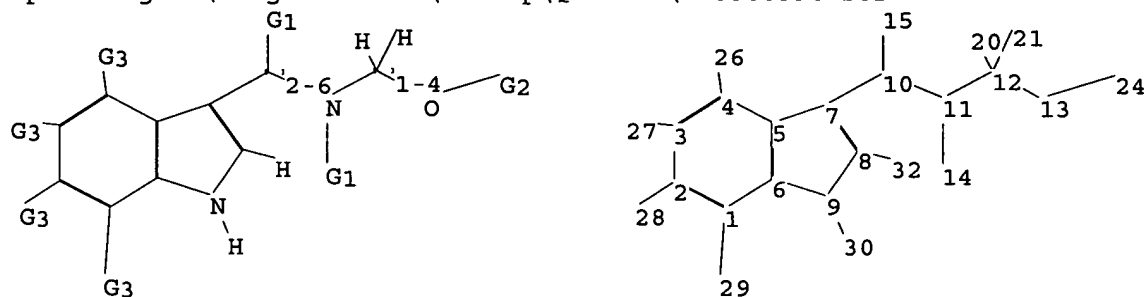
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15/05/2006

<http://www.cas.org/ONLINE/UG/regprops.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10538639b.str



chain nodes :

10 11 12 13 14 15 20 21 24 26 27 28 29 30 32

ring nodes :

1 2 3 4 5 6 7 8 9

chain bonds :

1-29 2-28 3-27 4-26 7-10 8-32 9-30 10-11 10-15 11-12 11-14 12-13 12-20
12-21 13-24

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9

exact/norm bonds :

1-29 2-28 3-27 4-26 5-7 6-9 7-8 8-9 10-11 10-15 11-12 11-14 12-13
13-24

exact bonds :

7-10 8-32 9-30 12-20 12-21

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

G1:H,Ak

G2:Hy,Ph

G3:H,O,X,C

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 20:CLASS 21:CLASS 24:CLASS
26:CLASS 27:CLASS 28:CLASS 29:CLASS 30:CLASS 32:CLASS

L1 STRUCTURE UPLOADED

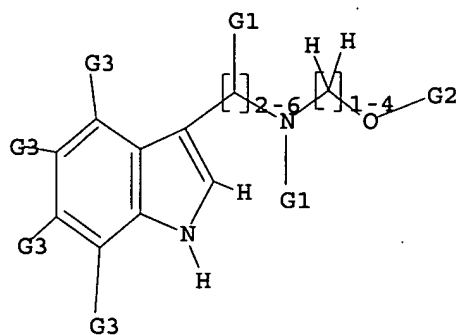
=> d l1

L1 HAS NO ANSWERS

L1 STR

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15/05/2006



G1 H, Ak

G2 Hy, Ph

G3 H, O, X, C

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 12:46:16 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 14202 TO ITERATE

14.1% PROCESSED 2000 ITERATIONS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

1 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 276902 TO 291178
PROJECTED ANSWERS: 1 TO 301

L2 1 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 12:46:23 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 282250 TO ITERATE

98.6% PROCESSED 278396 ITERATIONS

164 ANSWERS

100.0% PROCESSED 282250 ITERATIONS
SEARCH TIME: 00.00.19

164 ANSWERS

L3 164 SEA SSS FUL L1

=> file hcplus

COST IN U.S. DOLLARS

SINCE FILE

ENTRY

TOTAL

SESSION

FULL ESTIMATED COST

167.38

167.80

FILE 'HCPLUS' ENTERED AT 12:46:48 ON 15 MAY 2006

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FILE COVERS 1907 - 15 May 2006 VOL 144 ISS 21
FILE LAST UPDATED: 14 May 2006 (20060514/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3

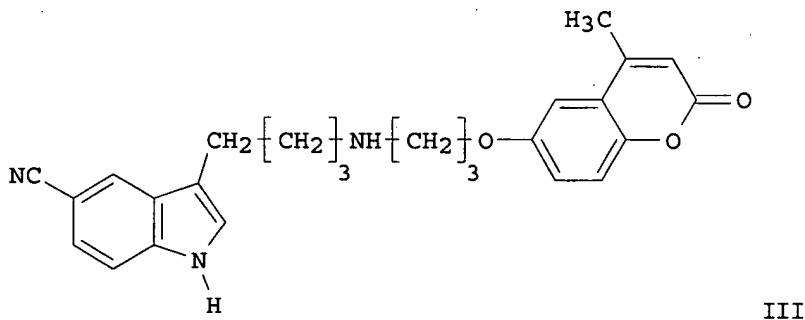
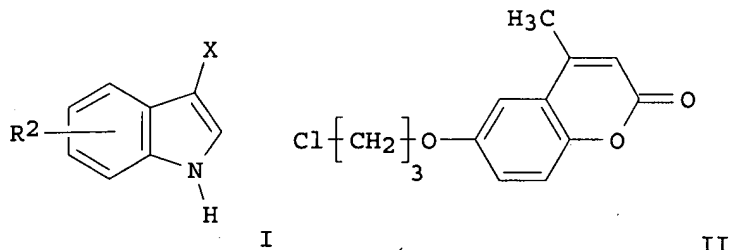
L4 25 L3

=> d ed abs ibib hitstr 1-25

L4 ANSWER 1 OF 25 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 24 Jun 2005

GI



AB Title compds. I [X = (CHR)_mYOX; m = 2-6; R₂ = (R₁)_p; p = 0-2; X = (un)substituted 1,2-benzopyrones, 2-quinolones, 2,3-benzo-4-pyrone, etc.; Y = N(R)(CH₂)_n, etc.; n = 1-4; R = H, A'; A' = alkyl, benzyl, halo, etc.; R₁ = H, OH, CN, etc.] and their pharmaceutically acceptable salts and formulations were prepared For example, N-alkylation of 3-(4-aminobutyl)-1H-indole-5-carbonitrile with chlorochromenone II

Young, Shawquia

15/05/2006

afforded claimed aminobutylindole III. Compds. I are claimed to have a strong affinity for the 5-HT1a receptor (no data provided).

ACCESSION NUMBER: 2005:545039 HCAPLUS
DOCUMENT NUMBER: 143:78075
TITLE: Preparation of 3-(4-aminobutyl)indoles and related compounds for the treatment of neurodegenerative illnesses
INVENTOR(S): Hoelzemann, Guenter; Crassier, Helene; Schiemann, Kai; Boettcher, Henning; Heinrich, Timo; Leibrock, Jochim; Van Amsterdam, Christoph; Bartoszyk, Gerd; Seyfried, Christoph
PATENT ASSIGNEE(S): Merck Patent GmbH, Germany
SOURCE: Ger. Offen., 38 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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DE 10353657	A1	20050623	DE 2003-10353657	20031117
PRIORITY APPLN. INFO.:			DE 2003-10353657	20031117

IT 855532-46-6P 855532-47-7P 855532-48-8P
855532-49-9P 855532-50-2P 855532-51-3P
855532-52-4P 855532-53-5P 855532-54-6P
855532-55-7P 855532-56-8P 855532-58-0P
855532-60-4P 855532-61-5P 855532-62-6P
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855532-66-0P 855532-67-1P 855532-69-3P
855532-71-7P 855532-72-8P 855532-73-9P
855532-74-0P 855532-76-2P 855532-77-3P
855532-78-4P 855532-79-5P 855532-80-8P
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855532-87-5P

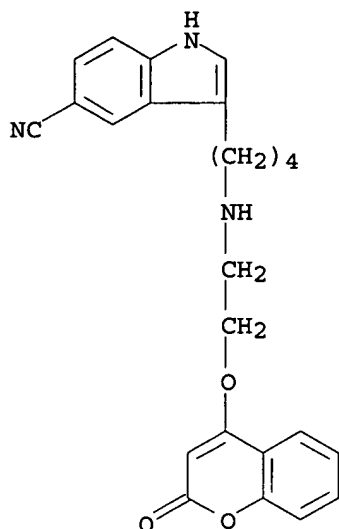
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminobutylindoles and related compds. for the treatment of neurodegenerative illnesses)

RN 855532-46-6 HCAPLUS

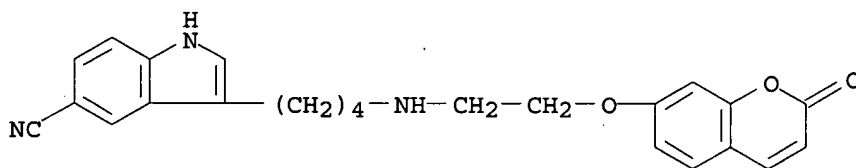
CN 1H-Indole-5-carbonitrile, 3-[4-[[2-[(2-oxo-2H-1-benzopyran-4-yl)oxy]ethyl]amino]butyl]- (9CI) (CA INDEX NAME)

15/05/2006



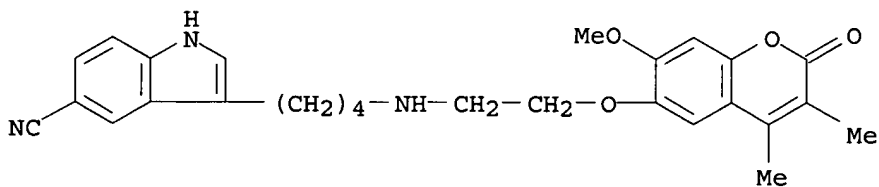
RN 855532-47-7 HCAPLUS

CN 1H-Indole-5-carbonitrile, 3-[4-[[2-[(2-oxo-2H-1-benzopyran-7-yl)oxy]ethyl]amino]butyl]- (9CI) (CA INDEX NAME)



RN 855532-48-8 HCAPLUS

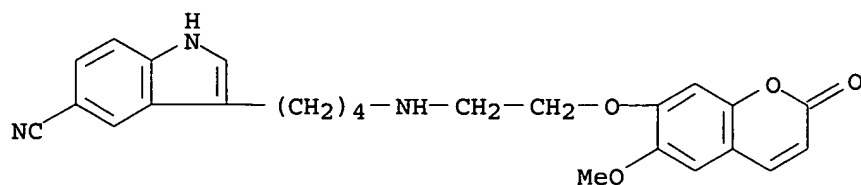
CN 1H-Indole-5-carbonitrile, 3-[4-[[2-[(7-methoxy-3,4-dimethyl-2-oxo-2H-1-benzopyran-6-yl)oxy]ethyl]amino]butyl]- (9CI) (CA INDEX NAME)



RN 855532-49-9 HCAPLUS

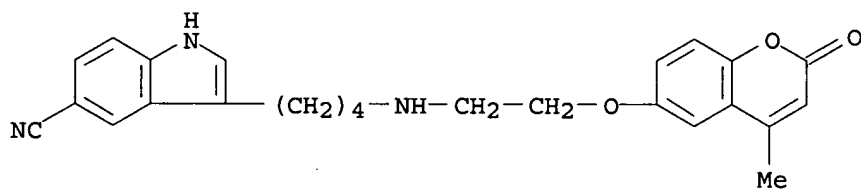
CN 1H-Indole-5-carbonitrile, 3-[4-[[2-[(6-methoxy-2-oxo-2H-1-benzopyran-7-yl)oxy]ethyl]amino]butyl]- (9CI) (CA INDEX NAME)

15/05/2006



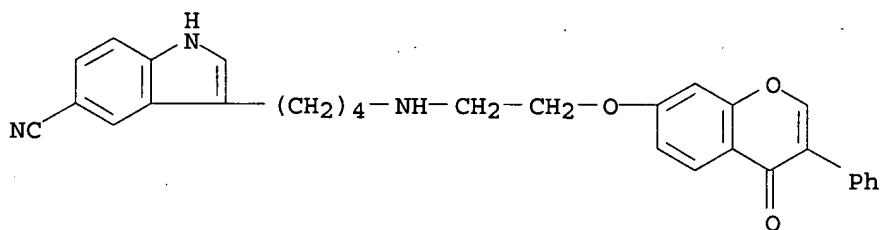
RN 855532-50-2 HCAPLUS

CN 1H-Indole-5-carbonitrile, 3-[4-[[2-[(4-methyl-2-oxo-2H-1-benzopyran-6-yl)oxy]ethyl]amino]butyl]- (9CI) (CA INDEX NAME)



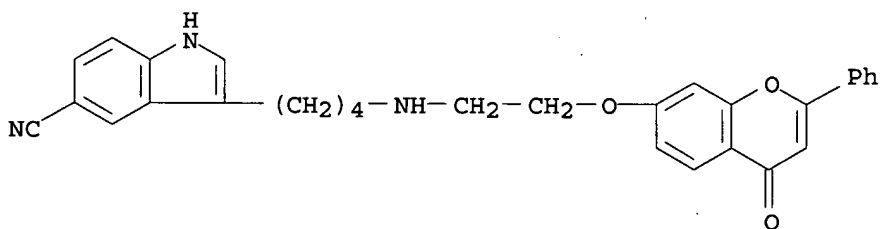
RN 855532-51-3 HCAPLUS

CN 1H-Indole-5-carbonitrile, 3-[4-[[2-[(4-oxo-3-phenyl-4H-1-benzopyran-7-yl)oxy]ethyl]amino]butyl]- (9CI) (CA INDEX NAME)



RN 855532-52-4 HCAPLUS

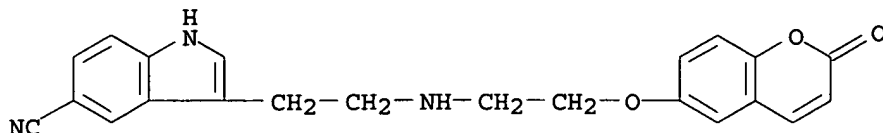
CN 1H-Indole-5-carbonitrile, 3-[4-[[2-[(4-oxo-2-phenyl-4H-1-benzopyran-7-yl)oxy]ethyl]amino]butyl]- (9CI) (CA INDEX NAME)



RN 855532-53-5 HCAPLUS

CN 2H-1-Benzopyran-2-one, 7-[2-[[2-(5-fluoro-1H-indol-3-yl)ethyl]amino]ethoxy]- (9CI) (CA INDEX NAME)

15/05/2006



L4 ANSWER 2 OF 25 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 28 Apr 2005

AB A simple synthetic approach to chiral, non-racemic, 2-piperazinones was developed using natural amino acids Me esters and nitroethylene as starting materials.

ACCESSION NUMBER: 2005:360406 HCAPLUS

DOCUMENT NUMBER: 143:43860

TITLE: A simple entry to chiral non-racemic 2-piperazinone derivatives

AUTHOR(S): Pollini, Gian Piero; Baricordi, Nikla; Benetti, Simonetta; De Risi, Carmela; Zanirato, Vinicio

CORPORATE SOURCE: Dipartimento di Scienze Farmaceutiche, Universita di Ferrara, Ferrara, I-44100, Italy

SOURCE: Tetrahedron Letters (2005), 46(21), 3699-3701

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 143:43860

IT 853570-25-9P

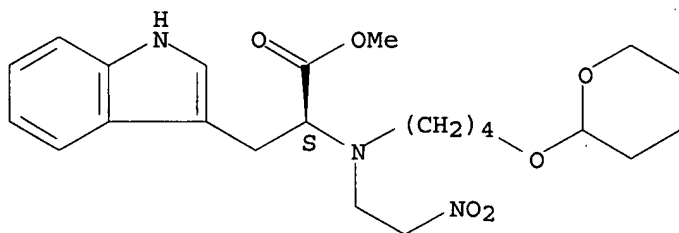
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of chiral non-racemic 2-piperazinone derivs. starting from natural α -amino esters and 2-acetoxy-1-nitroethane)

RN 853570-25-9 HCAPLUS

CN L-Tryptophan, N-(2-nitroethyl)-N-[4-[(tetrahydro-2H-pyran-2-yl)oxy]butyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

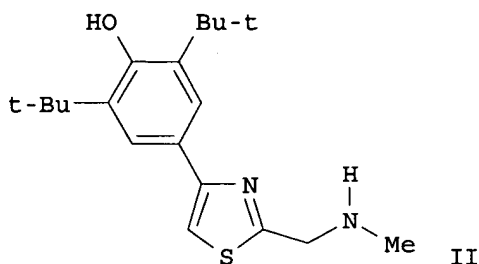
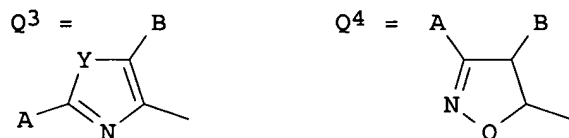
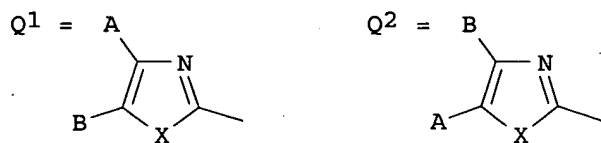
L4 ANSWER 3 OF 25 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 18 Feb 2005

GI

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15/05/2006



AB The invention relates to pharmaceutical use of heterocyclic compds. of general formula Het(A)(B)-(CH₂)_n-CR₁R₂-Q [I; wherein the substituted heterocyclic ring Het(A)(B) = Q¹-Q⁴; A = various aryl or heteroaryl systems, especially a substituted Ph or biphenyl radical, or also alkyl, cycloalkyl, or cycloalkylalkyl; B = especially H or alkyl, or also aryl or substituted alkyl; X = especially NH or S, or also substituted NH; Y = O or S; n = 0-6; R₁, R₂ = especially H, alkyl, or cycloalkyl; Q = NR₃R₄ or OR₅; R₃ and R₄ = especially H, alkyl, cycloalkyl, alkynyl, cyanoalkyl, alkoxy carbonyl, aralkoxy carbonyl or (cycloalkyl)oxycarbonyl; R₅ = H, alkyl, alkynyl, or cyanoalkyl]. I and their racemates, enantiomers, and/or salts can be used for producing medicaments for inhibiting monoamine oxidases (MAO), inhibiting lipid peroxidn., and/or for acting as modulators of sodium channels. The resulting medicaments are particularly for use in treating neurodegenerative disorders such as Parkinson's disease, Alzheimer's disease, Huntington's chorea, amyotrophic lateral sclerosis, or pain. Approx. 500 synthetic examples of I and their salts are given, and numerous free bases of I are claimed. For instance, protection of sarcosinamide-HCl with BOC anhydride gave 72% BOC-N(Me)CH₂CONH₂, which was converted to the thioamide with (P₂S₅)₂ in 65% yield. Cyclocondensation of the thioamide with 2-bromo-1-(3,5-di-tert-butyl-4-hydroxyphenyl)ethanone (28%), followed by deprotection (73%) and salification (92%), gave thiazole derivative II as the HCl salt. II inhibited binding of the MAO-B specific ligand [3H]-Ro-19-6327 to rat mitochondrial preps. with IC₅₀ < 10 μM. Selected I also inhibited formation of malondialdehyde by lipid peroxidn. in rat cerebral cortex preps., and inhibited specific binding of [3H]-batrachotoxin to voltage-dependent sodium channels in rat cerebral cortex homogenates.

ACCESSION NUMBER: 2005:140811 HCAPLUS

DOCUMENT NUMBER: 142:240429

TITLE: Five-membered heterocycle derivatives useful as monoamine oxidase inhibitors, lipid peroxidation

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15/05/2006

INVENTOR(S) :

inhibitors, and sodium channel modulators, and the production thereof, and use thereof as medicaments Chabrier De Lassauniere, Pierre-etienne; Harnett, Jermiah; Bigg, Dennis; Liberatore, Ann-Marie; Pommier, Jacques; Lannoy, Jacques; Thurieau, Christophe; Dong, Zheng Xin

PATENT ASSIGNEE(S) :

Fr.

SOURCE:

U.S. Pat. Appl. Publ., 154 pp., Cont.-in-part of U.S. Ser. 681,002.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005038087	A1	20050217	US 2004-915001	20040810
FR 2799461	A1	20010413	FR 1999-12643	19991011
FR 2799461	B1	20020104		
FR 2812546	A1	20020208	FR 2000-10151	20000801
WO 2001026656	A2	20010419	WO 2000-FR2805	20001010
WO 2001026656	A3	20020418		
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RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1228760	A2	20020807	EP 2002-76763	20001010
EP 1228760	A3	20040128		
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EP 1589007	A2	20051026	EP 2005-76749	20001010
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FR 2823208	A1	20021011	FR 2001-4943	20010410
FR 2823208	B1	20040319		
WO 2002083656	A2	20021024	WO 2002-FR1218	20020409
WO 2002083656	A3	20030103		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
ZA 2003007750	A	20040726	ZA 2003-7750	20031003
US 2004132788	A1	20040708	US 2003-681002	20031008
WO 2005035510	A1	20050421	WO 2004-FR2537	20041008
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,			

15/05/2006

TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
SN, TD, TG

PRIORITY APPLN. INFO.:

FR 1999-12643	A 19991011
FR 2000-10151	A 20000801
FR 2000-11169	A 20000901
WO 2000-FR2805	W 20001010
FR 2001-4943	A 20010410
FR 2002-1811	A 20020214
US 2002-89993	A2 20020404
WO 2002-FR1218	A1 20020409
US 2003-681002	A2 20031008
EP 2000-967988	A3 20001010
US 2004-915001	A 20040810

OTHER SOURCE(S): MARPAT 142:240429

IT 335243-62-4P, (1R)-2-(1H-Indol-3-yl)-N-(2-phenoxyethyl)-1-(4-phenyl-1H-imidazol-2-yl)ethanamine 335243-66-8P, (1R)-2-(1H-Indol-3-yl)-N-(2-phenoxyethyl)-1-(4-phenyl-1,3-thiazol-2-yl)ethanamine

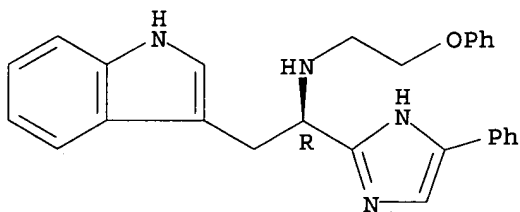
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of five-membered heterocycle derivs. as MAO inhibitors, lipid peroxidn. inhibitors, and sodium channel modulators)

RN 335243-62-4 HCAPLUS

CN 1H-Indole-3-ethanamine, N-(2-phenoxyethyl)- α -(4-phenyl-1H-imidazol-2-yl)-, (α R)- (9CI) (CA INDEX NAME)

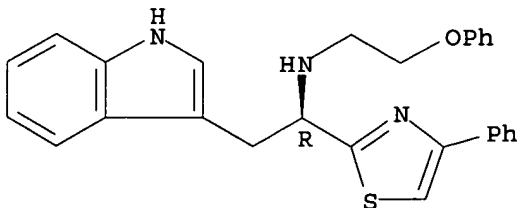
Absolute stereochemistry.



RN 335243-66-8 HCAPLUS

CN 1H-Indole-3-ethanamine, N-(2-phenoxyethyl)- α -(4-phenyl-2-thiazolyl)-, (α R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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15/05/2006

L4 ANSWER 4 OF 25 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 11 Feb 2005
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein Het = 4-furazan-3-yl, 4-pyridinyl, 2-aminopyridin-4-yl, 2-amino-pyrimidin-5-yl, etc.; R1 = H, (un)substituted alkyl, cycloalkyl containing 1-4 heteroatoms; R4 = H, halo, (un)substituted alkyl, cycloalkyl, poly/cyclic aromatic ring; R7 = H, CONR9R10 and derivs., SO2NR9R10 and derivs., N(CH2)mNR9R10etc.; m = 6, where the carbon chain formed by m i's optionally substituted; R9, R10 = independently H, (un)substituted alkyl, cycloalkyl etc.; with the exception of one compound; and their pharmaceutically acceptable salts, hydrates, solvates, and prodrugs] were prepared as inhibitors of protein kinase B activity. For example, II \times TFA was prepared via cyclocondensation of N-(1-Benzylpiperidin-4-yl)-2-chloropyridin-3,4-diamine (preparation given) with Et cyanoacetate, followed by Pd-coupling with Ph boronic acid, reaction with NaNO2 and NH2OH of acetonitrile intermediate, and Bn-deprotection. In an Akt inhibitory activity assay, III displayed IC50 values of 0.069, 0.038, and 0.032, against delta-PH domain of Akt1, Akt2, and Akt3, resp. Thus, I are useful in the treatment of cancer and arthritis (no data).

ACCESSION NUMBER: 2005:120747 HCAPLUS

DOCUMENT NUMBER: 142:219283

TITLE: Preparation of 1H-imidazo[4,5-c]pyridin-2-yl derivatives as inhibitors of Akt activity

INVENTOR(S): Heerding, Dirk A.; Clark, Tammy J.; Drewry, David H.; Leber, Jack Dale; Safonov, Igor; Yamashita, Dennis S.

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 212 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005011700	A1	20050210	WO 2004-US24340	20040728
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2004261214	A1	20050210	AU 2004-261214	20040728
CA 2534038	AA	20050210	CA 2004-2534038	20040728
EP 1653961	A1	20060510	EP 2004-779406	20040728
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR			
PRIORITY APPLN. INFO.:			US 2003-490851P	P 20030729
			US 2003-491055P	P 20030730

Young, Shawquia

15/05/2006

US 2003-493101P	P 20030806
US 2003-494752P	P 20030813
US 2003-507014P	P 20030929
US 2003-530847P	P 20031218
WO 2004-US24340	W 20040728

OTHER SOURCE(S): MARPAT 142:219283

IT 842147-27-7P, [4-[1-Ethyl-7-[3-[[2-(5-methoxy-1H-indol-3-yl)ethyl]amino]propoxy]-4-phenyl-1H-imidazo[4,5-c]pyridin-2-yl]furazan-3-yl]amine trifluoroacetate

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Akt inhibitor; preparation of 1H-imidazo[4,5-a]pyridin-2-yl derivs. as inhibitors of Akt activity for treating cancer and arthritis)

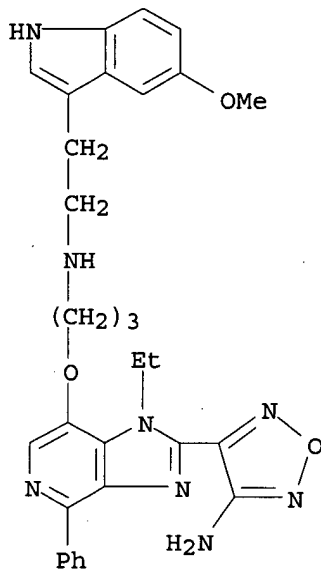
RN 842147-27-7 HCAPLUS

CN 1H-Indole-3-ethanamine, N-[3-[[2-(4-amino-1,2,5-oxadiazol-3-yl)-1-ethyl-4-phenyl-1H-imidazo[4,5-c]pyridin-7-yl]oxy]propyl]-5-methoxy-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 842147-26-6

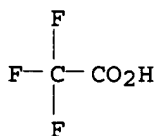
CMF C30 H32 N8 O3



CM 2

CRN 76-05-1

CMF C2 H F3 O2

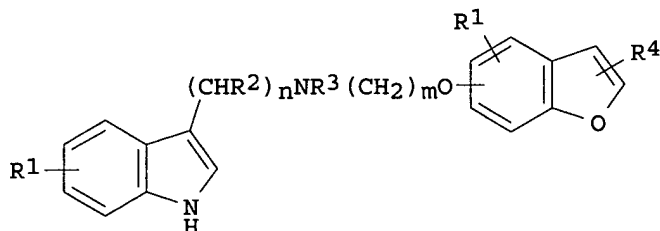


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15/05/2006

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 25 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 26 Aug 2004
GI



AB Title compds. (I; R1 = 1-2 of OH, OA, cyano, halo, COR, CH2R; R = OH, OA, NH2, NHA, NA2; R2, R3 = H, A; R4 = H, 1-2 of OH, OA, NH2, NHA, NA2, cyano, halo, COR, CH2R; A = alkyl; m = 2-6; n = 1-4), were prepared as 5-HT1A, 5-HT1D, 5-HT2A agonists and 5HT reuptake inhibitors (no data). Thus, Me 7-(2-chloroethoxy)benzofuran-2-carboxylate (preparation given), 2-(5-fluoro-1H-indol-3-yl)ethylamine, K2CO3, and KI were refluxed 3 days in MeCN to give coupling product, which was stirred with aqueous NH3 in MeOH overnight to give 7-[2-[2-(5-fluoro-1H-indol-3-yl)ethylamino]ethoxy]benzofuran-2-carboxamide.

ACCESSION NUMBER: 2004:695261 HCAPLUS
DOCUMENT NUMBER: 141:225307
TITLE: Preparation of benzofuranyloxyalkylaminoalkylindoles as serotonin agonists and reuptake inhibitors.
INVENTOR(S): Hoelzemann, Guenter; Schiemann, Kai; Boettcher, Henning; Heinrich, Timo; Seyfried, Christoph; Leibrock, Joachim; Van Amsterdam, Christoph; Bartoszyk, Gerd
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: Ger. Offen., 16 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10306941	A1	20040826	DE 2003-10306941	20030218
CN 1751053	A	20060322	CN 2004-80004133	20040115
AU 2004213097	A1	20040902	AU 2004-213097	20040119
CA 2516263	AA	20040902	CA 2004-2516263	20040119
WO 2004074281	A1	20040902	WO 2004-EP348	20040119

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

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15/05/2006

EP 1594864 A1 20051116 EP 2004-703167 20040119
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
BR 2004007094 A 20060207 BR 2004-7094 20040119
CN 1751040 A 20060322 CN 2004-80004368 20040119
US 2006084693 A1 20060420 US 2005-546029 20050818
PRIORITY APPLN. INFO.: DE 2003-10306941 A 20030218
WO 2004-EP348 W 20040119

OTHER SOURCE(S): MARPAT 141:225307

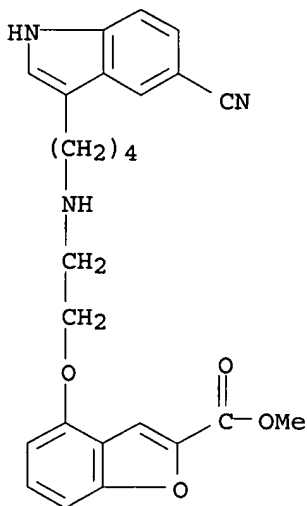
IT 745834-74-6P 745834-75-7P 745834-76-8P
745834-77-9P 745834-78-0P 745834-79-1P
745834-80-4P 745834-81-5P 745834-82-6P
745834-83-7P 745834-84-8P 745834-85-9P
745834-86-0P 745834-87-1P 745834-88-2P
745834-89-3P 745834-90-6P 745834-91-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(claimed compound; preparation of benzofuranyloxyalkylaminoalkylindoles as
serotonin agonists and reuptake inhibitors)

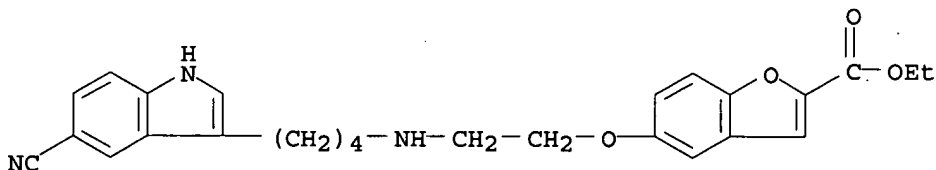
RN 745834-74-6 HCAPLUS

CN 2-Benzofurancarboxylic acid, 4-[2-[[4-(5-cyano-1H-indol-3-
yl)butyl]amino]ethoxy]-, methyl ester (9CI) (CA INDEX NAME)



RN 745834-75-7 HCAPLUS

CN 2-Benzofurancarboxylic acid, 5-[2-[[4-(5-cyano-1H-indol-3-
yl)butyl]amino]ethoxy]-, ethyl ester (9CI) (CA INDEX NAME)

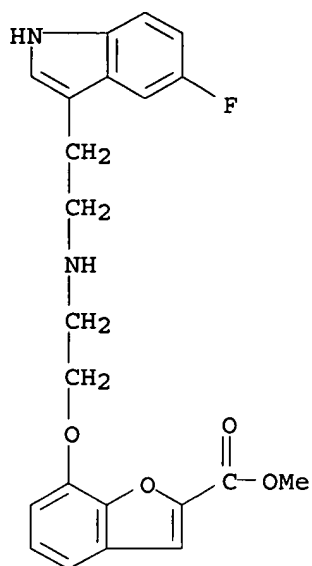


RN 745834-76-8 HCAPLUS

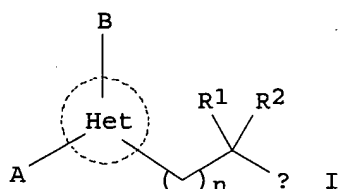
CN 2-Benzofurancarboxylic acid, 6-[2-[[4-(5-cyano-1H-indol-3-

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15/05/2006



L4 ANSWER 6 OF 25 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 09 Jul 2004
GI



AB The invention relates to thiazole, oxazole, imidazole, isoxazole and isoxazoline derivs. of general formula (I) [wherein Het = thiazole, oxazole, imidazole, isoxazole or isoxazoline; n = an integer from 0 to 6; A = optionally substituted aromatic radical; B = H, alkyl, Ph; R₁, R₂ = H, alkyl, cycloalkyl; Ω = NR₄₆R₄₇ or OR₄₈; R₄₆, R₄₇ = H, alkyl, cycloalkyl, (CH₂)_k-CO₂R₅₁; R₅₁ = alkyl, haloalkyl; R₄₈ = H, alkyl]. These compds. have advantageous pharmacol. properties which allow their use in a medicament intended to inhibit monoamine oxidases (MAO) and/or lipidic peroxidn. and/or to act as modulators of the sodium channels and notably their use in therapeutics for treating (1) central or peripheral nervous system, (2) neurodegenerative disorders selected from Parkinson's disease, Alzheimer's disease, Huntington's chorea and amyotrophic lateral sclerosis or (3) pain selected from the group consisting of postoperative pain, migraine, neuropathic pain, central pain, chronic inflammatory pain and pain linked to a cancer. Thus, 2-[[[(1,1-dimethylethoxy)carbonyl]methyl]amino]ethanethioamide (4.3 g, 2.11 mmol) and 2-bromo-1-(3,5-di-tert-butyl-4-hydroxyphenyl)ethanone (6.9 g, 2.11 mmol) were dissolved in 75 mL benzene under argon atmospheric and stirred at ambient temperature for 12 h to give, after workup and silica gel chromatog., 4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-N-[(1,1-dimethylethoxy)carbonyl]-N-methyl-2-

Young, Shawquia

15/05/2006

thiazolemethanamine which was treated with CF₃CO₂H and triethylsilane in 50 mL CH₂Cl₂ to give, after workup, 4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-N-methyl-2-thiazolemethanamine (II). II showed IC₅₀ of lower than 10 µM for inhibiting lipid peroxidn. of the cerebral cortex of rats.

ACCESSION NUMBER: 2004:550745 HCAPLUS
DOCUMENT NUMBER: 141:106475
TITLE: Preparation of 5-membered heterocycle derivatives for treating neurodegenerative disorders or pain
INVENTOR(S): Chabrier De Lassauniere, Pierre-Etienne; Harnett, Jeremiah; Bigg, Dennis; Liberatore, Anne-Marie; Pommier, Jacques; Lannoy, Jacques; Thurieau, Christophe
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 150 pp., Cont.-in-part of U.S. Ser. No. 89,993.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004132788	A1	20040708	US 2003-681002	20031008
FR 2799461	A1	20010413	FR 1999-12643	19991011
FR 2799461	B1	20020104		
FR 2812546	A1	20020208	FR 2000-10151	20000801
WO 2001026656	A2	20010419	WO 2000-FR2805	20001010
WO 2001026656	A3	20020418		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1228760	A2	20020807	EP 2002-76763	20001010
EP 1228760	A3	20040128		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			
EP 1589007	A2	20051026	EP 2005-76749	20001010
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, CY			
FR 2823208	A1	20021011	FR 2001-4943	20010410
FR 2823208	B1	20040319		
ZA 2003007750	A	20040726	ZA 2003-7750	20031003
US 2005038087	A1	20050217	US 2004-915001	20040810
WO 2005035510	A1	20050421	WO 2004-FR2537	20041008
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,			

15/05/2006

SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
SN, TD, TG
PRIORITY APPLN. INFO.:

FR 1999-12643	A	19991011
FR 2000-10151	A	20000801
FR 2000-11169	A	20000901
WO 2000-FR2805	W	20001010
FR 2001-4943	A	20010410
FR 2002-1811	A	20020214
US 2002-89993	A2	20020404
EP 2000-967988	A3	20001010
WO 2002-FR1218	A1	20020409
US 2003-681002	A2	20031008
US 2004-915001	A	20040810

OTHER SOURCE(S): MARPAT 141:106475

IT **335243-62-4P**, (1R)-2-(1H-Indol-3-yl)-N-(2-phenoxyethyl)-1-(4-phenyl-1H-imidazol-2-yl)ethanamine **335243-66-8P**, (1R)-2-(1H-Indol-3-yl)-N-(2-phenoxyethyl)-1-(4-phenyl-1,3-thiazol-2-yl)ethanamine

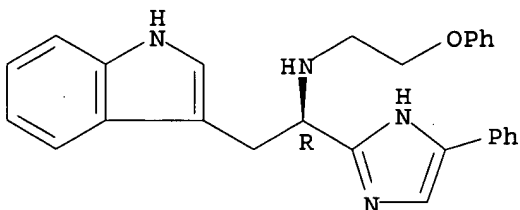
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 5-membered heterocycle derivs. for treating diseases of central or peripheral nervous system, neurodegenerative disorders, or pain)

RN 335243-62-4 HCAPLUS

CN 1H-Indole-3-ethanamine, N-(2-phenoxyethyl)- α -(4-phenyl-1H-imidazol-2-yl)-, (α R)- (9CI) (CA INDEX NAME)

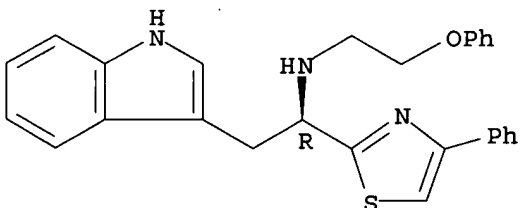
Absolute stereochemistry.



RN 335243-66-8 HCAPLUS

CN 1H-Indole-3-ethanamine, N-(2-phenoxyethyl)- α -(4-phenyl-2-thiazolyl)-, (α R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



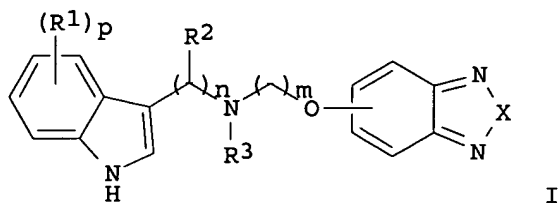
L4 ANSWER 7 OF 25 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 27 Jun 2004

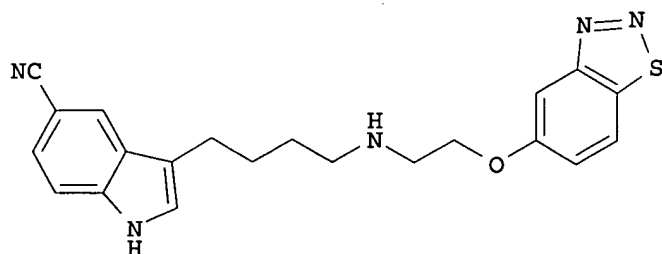
GI

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15/05/2006



I



II

AB The title indole derivs. with general formula of I [wherein R1 = H, OH, alkoxy, CN, halo, COR, or CH2R; R = OH, alkoxy, NH2, alkylamino, or dialkylamino; R2 = H or alkyl; R3 = H or alkyl; X = O or S; n = 2-6; m = 1-4; p = 0-4] or salts, enantiomers, solvates, or racemates thereof are prepared as 5HT receptor antagonists. For example, 1,2,3-benzothiadiazol-5-ol was reacted with BrCH2CH2Cl in acetone in the presence of K2CO3 and KI to give 5-(2-chloroethoxy)-1,2,3-benzothiadiazole. The benzothiadiazole was reacted with 3-(4-aminobutyl)-1H-indole-5-carbonitrile in CH3CN in the presence of K2CO3 and KI to afford II. I are useful for the treatment of central nervous system disorders, mental disorder, schizophrenia, and psychotic anxiety (no data).

ACCESSION NUMBER: 2004:515508 HCAPLUS

DOCUMENT NUMBER: 141:71550

TITLE: Preparation of indole derivatives as 5HT receptor antagonists

INVENTOR(S): Hoelzemann, Guenter; Crassier, Helene; Boettcher, Henning; Heinrich, Timo; Schiemann, Kai; Leibrock, Joachim; Van Amsterdam, Christoph; Bartoszyk, Gerd; Seyfried, Christoph

PATENT ASSIGNEE(S): Merck Patent GmbH, Germany

SOURCE: 58 pp.

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004052886	A1	20040624	WO 2003-EP12810	20031117
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			

Young, Shawquia

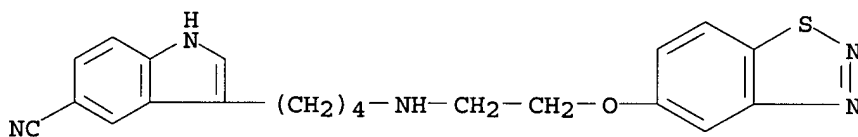
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CA	2509225	AA	20040624	CA	2003-2509225	20031117
AU	2003288077	A1	20040630	AU	2003-288077	20031117
EP	1569930	A1	20050907	EP	2003-779945	20031117
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BR	2003017126	A	20051025	BR	2003-17126	20031117
CN	1723209	A	20060118	CN	2003-80105387	20031117
JP	2006510641	T2	20060330	JP	2004-557906	20031117
PRIORITY APPLN. INFO.:				EP	2002-27483	A 20021210
				WO	2003-EP12810	W 20031117

IT 709634-46-8P 709634-47-9P 709634-50-4P
709634-51-5P 709634-52-6P 709634-53-7P
709634-54-8P

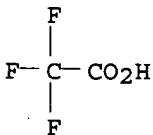
(drug candidate; preparation of indole derivs. as 5HT receptor antagonists)

CN 1H-Indole-5-carbonitrile, 3-[4-[[2-(1,2,3-benzothiadiazol-5-yloxy)ethyl]amino]butyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CRN 709634-45-7
CMF C21 H21 N5 O S

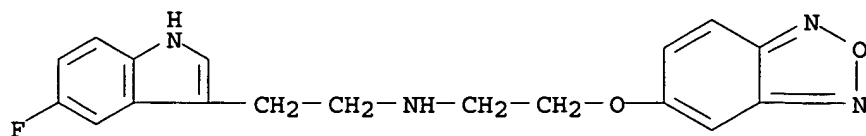


CRN 76-05-1
CMF C2 H F3 O2



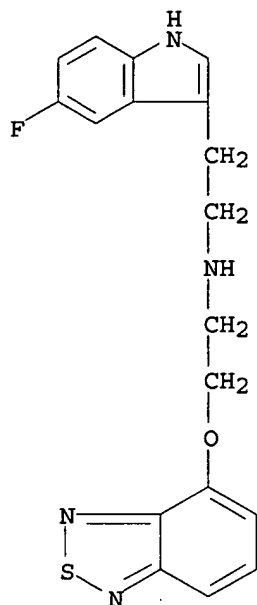
CN 1H-Indole-3-ethanamine, N-[2-(2,1,3-benzoxadiazol-5-yloxy)ethyl]-5-fluoro-
(9CI) (CA INDEX NAME)

15/05/2006



RN 709634-50-4 HCAPLUS

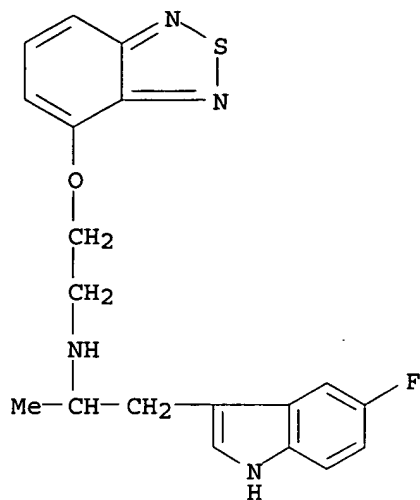
CN 1H-Indole-3-ethanamine, N-[2-(2,1,3-benzothiadiazol-4-yloxy)ethyl]-5-fluoro- (9CI) (CA INDEX NAME)



RN 709634-51-5 HCAPLUS

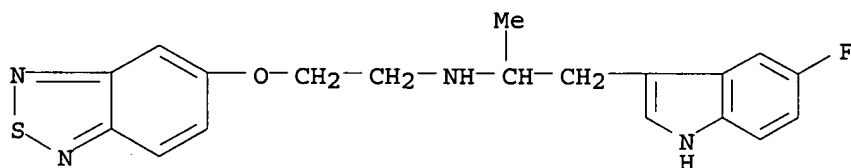
CN 1H-Indole-3-ethanamine, N-[2-(2,1,3-benzothiadiazol-4-yloxy)ethyl]-5-fluoro- α -methyl- (9CI) (CA INDEX NAME)

15/05/2006



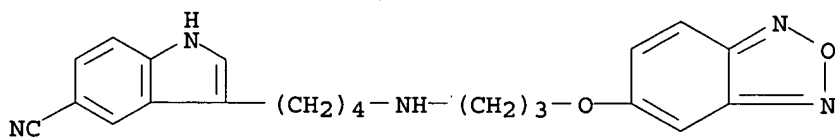
RN 709634-52-6 HCAPLUS

CN 1H-Indole-3-ethanamine, N-[2-(2,1,3-benzoxadiazol-5-yloxy)ethyl]-5-fluoro- α -methyl- (9CI) (CA INDEX NAME)



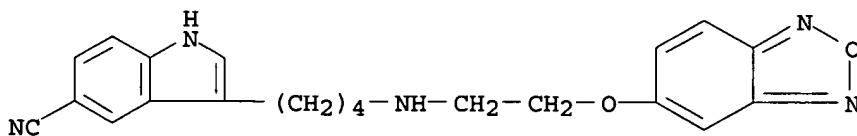
RN 709634-53-7 HCAPLUS

CN 1H-Indole-5-carbonitrile, 3-[4-[[3-(2,1,3-benzoxadiazol-5-yloxy)propyl]amino]butyl]- (9CI) (CA INDEX NAME)



RN 709634-54-8 HCAPLUS

CN 1H-Indole-5-carbonitrile, 3-[4-[[2-(2,1,3-benzoxadiazol-5-yloxy)ethyl]amino]butyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 8 OF 25 HCAPLUS COPYRIGHT 2006 ACS on STN

Young, Shawquia

15/05/2006

ED Entered STN: 21 Jun 2004

AB N-Aryloxyethylindolealkylamines (5) having dual 5-HT transporter and 5-HT1A affinity are described. These compds. represent truncated analogs of our previously reported piperidinyll derivatives (3). Compds. in this investigation were found to have more similar affinities and functional activities for the 5-HT1A receptor and 5-HT transporter. Though 5-HT1A antagonism is not consistently observed throughout series 5, several mol. features were found to be essential to obtain high and balanced activities. The proper placement of a heteroatom in the aryl ring and the length of the linkage used to tether the indole moiety had significant influence on 5-HT1A and 5-HT transporter affinities. Introduction of a halogen into the aryl ring usually lowered intrinsic activity and in some cases led to full 5-HT1A antagonists. Compds. 33 and 34 were observed to be full 5-HT1A antagonists with K_i values of approx. 30 nM for the 5-HT1A receptor and K_i values of 5 and 0.5 nM for the 5-HT transporter, resp. Unfortunately, similar to our previous series (3), compds. in this report also had high affinity for the α_1 receptor.

ACCESSION NUMBER: 2004:498164 HCAPLUS

DOCUMENT NUMBER: 141:184588

TITLE: Studies toward the Discovery of the Next Generation of Antidepressants. 3. Dual 5-HT1A and Serotonin Transporter Affinity within a Class of N-Aryloxyethylindolylalkylamines

AUTHOR(S): Mewshaw, Richard E.; Zhou, Dahui; Zhou, Ping; Shi, Xiaojie; Hornby, Geoffrey; Spangler, Taylor; Scerni, Rosemary; Smith, Deborah; Schechter, Lee E.; Andree, Terrance H.

CORPORATE SOURCE: Chemical and Screening Sciences and Neuroscience Discovery Research Wyeth Research, Philadelphia, PA, 19101-2528, USA

SOURCE: Journal of Medicinal Chemistry (2004), 47(15), 3823-3842

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 141:184588

IT 245762-57-6P 245762-59-8P 245762-61-2P

245762-63-4P 245762-65-6P 245762-67-8P

245762-69-0P 245762-71-4P 245762-73-6P

245762-75-8P 245762-77-0P 245762-89-4P

246019-05-6P 246019-08-9P 246019-09-0P

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737002-12-9P 737002-13-0P 737002-14-1P

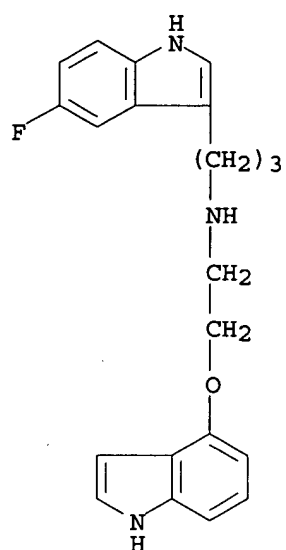
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(studies toward discovery of next generation of antidepressants with dual 5-HT1A and serotonin transporter affinity within class of N-aryloxyethylindolylalkylamines)

RN 245762-57-6 HCAPLUS

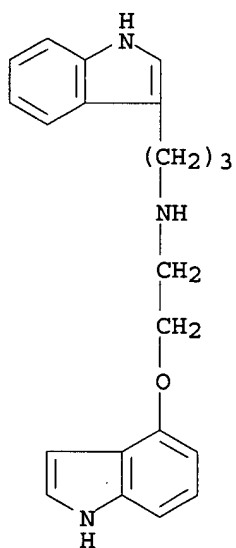
CN 1H-Indole-3-propanamine, 5-fluoro-N-[2-(1H-indol-4-yloxy)ethyl]- (9CI)
(CA INDEX NAME)

15/05/2006



RN 245762-59-8 HCAPLUS

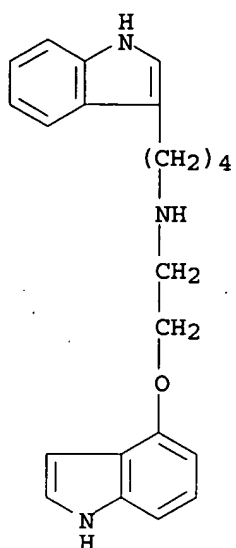
CN 1H-Indole-3-propanamine, N-[2-(1H-indol-4-yloxy)ethyl]- (9CI) (CA INDEX NAME)



RN 245762-61-2 HCAPLUS

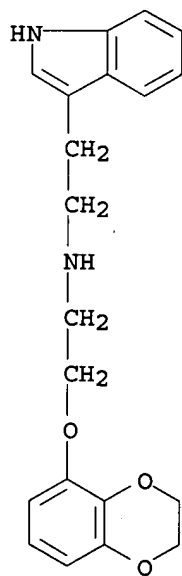
CN 1H-Indole-3-butanamine, N-[2-(1H-indol-4-yloxy)ethyl]- (9CI) (CA INDEX NAME)

15/05/2006



RN 245762-63-4 HCAPLUS

CN 1H-Indole-3-ethanamine, N-[2-[(2,3-dihydro-1,4-benzodioxin-5-yl)oxy]ethyl]-(9CI) (CA INDEX NAME)

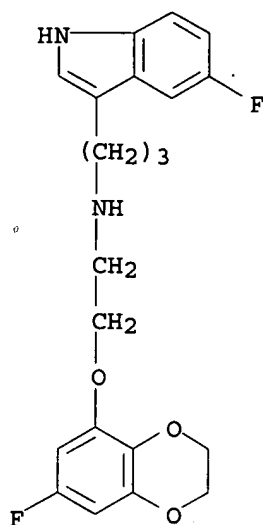


RN 245762-65-6 HCAPLUS

CN 1H-Indole-3-propanamine, N-[2-[(2,3-dihydro-1,4-benzodioxin-5-yl)oxy]ethyl]-5-fluoro- (9CI) (CA INDEX NAME)

Young, Shawquia

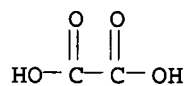
15/05/2006



CM 2

CRN 144-62-7

CMF C2 H2 O4



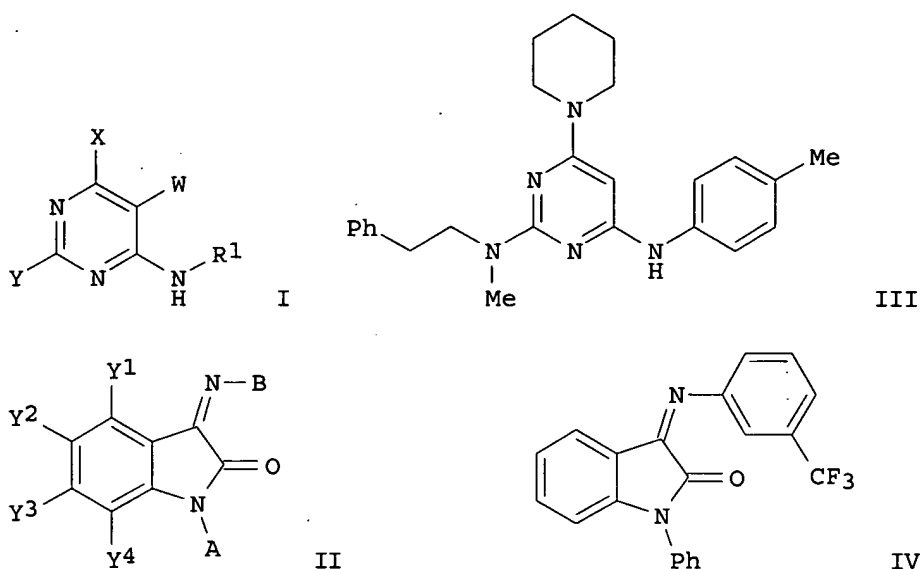
REFERENCE COUNT: 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 25 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 14 May 2004

GI

15/05/2006



AB The title compds. I [wherein W = H, halo, CN, alkyl, or alkoxy; X = (un)substituted amino, piperidino, 4-oxopiperidino, or piperazino; Y = (un)substituted NH₂, 2-isoquinolinyl, morpholino, benz[de]isoquinolinyl, etc.; R¹ = bicyclic ring, (nor)adamantyl, cycloalkyl, (un)substituted (hetero)aryl, etc.; or pharmaceutically acceptable salts thereof] and II [wherein Y¹-Y⁴ = independently H, (cyclo)alkyl, (cyclo)alkenyl, alkynyl, halo, NO₂, N₃, CN, alkoxy, acyl, carbamoyl, (hetero)aryl, etc.; A = (un)substituted (hetero)aryl(alkyl), oxocycloalkylalkyl, heterocyclyl, alkenyl, alkynyl, etc.; B = (un)substituted (hetero)aryl or tricyclic heteroaryl; or pharmaceutically acceptable salts thereof] were prepared as selective antagonists for the galanin 3 (GAL3) receptor for the treatment of neuropathic pain. Examples include general procedures for synthesis of the compds. I and II, as well as procedures and data for numerous bioassays. For instance, III was prepared and showed selectivity for the hGAL3 receptor compared to the hGAL1 and hGAL2 receptors with binding affinities of K_i = 28 nM, 442 nM, and 176 nM, resp. III also exhibited antagonist selectivity ratios >30 for serotonin receptors and several transporters vs. hGAL3. In addition, behavioral tests were performed on rats to assess the analgesic properties of another exemplified compound, 1-phenyl-3-[[3-(trifluoromethyl)phenyl]imino]-1,3-dihydro-2H-indol-2-one (IV). The behavioral data demonstrated that i.p. administration of 30 mg/kg of IV significantly attenuated specific pain-related behaviors in neuropathic rats, namely mech. allodynia, without significant contralateral effects.

ACCESSION NUMBER: 2004:392329 HCAPLUS
DOCUMENT NUMBER: 140:406818
TITLE: Preparation of pyrimidine and indol-2-one derivatives as GAL3 receptor antagonists for the treatment of neuropathic pain
INVENTOR(S): Blackburn, Thomas P.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 140 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

Young, Shawquia

15/05/2006

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004092570	A1	20040513	US 2003-637299	20030807
PRIORITY APPLN. INFO.:			US 2002-402035P	P 20020807

OTHER SOURCE(S): MARPAT 140:406818

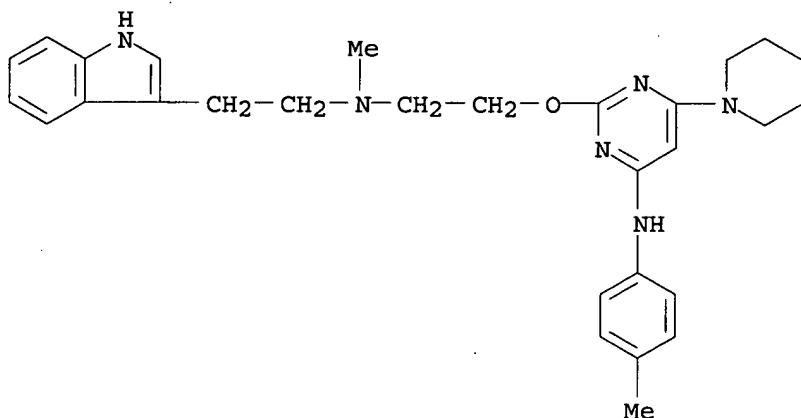
IT 445452-73-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrimidine and indol-2-one derivs. as galanin GAL3 antagonists for treatment of neuropathic pain)

RN 445452-73-3 HCAPLUS

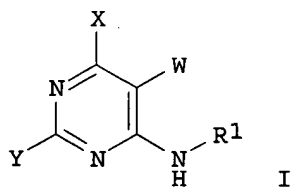
CN 1H-Indole-3-ethanamine, N-methyl-N-[2-[[4-[(4-methylphenyl)amino]-6-(1-piperidinyl)-2-pyrimidinyl]oxy]ethyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 10 OF 25 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 25 Apr 2003

GI



AB Title compds. I [W = H, halo, CN, etc.; X = substituted NH₂, (un)substituted piperidino, 4-oxopiperidino, piperazino; R₁ = bicyclic ring, adamantyl, (hetero)aryl, etc.; Y = substituted NH₂, (un)substituted 2-isoquinolinyl, morpholino, etc]. and analogs are selective antagonists for the GAL3 receptor and are useful in treating depression and/or anxiety are prepared Various general procedures for synthesis of I and biol. data, are given. E.g., exemplified compound I [W = H; X = piperidino; Y =

Young, Shawquia

15/05/2006

N-cyclohexyl-N-methylamino; R1 = 4-MeC6H4] showed Ki of 35 nM against GalR3 receptor binding vs. Ki of 668 nM and Ki of 188 nM against GalR1 and GalR2, resp.

ACCESSION NUMBER: 2003:319458 HCAPLUS
DOCUMENT NUMBER: 138:321291
TITLE: Preparation of pyrimidine and indol-2-one derivatives as galanin GAL3 receptor antagonists for the treatment of depression and/or anxiety
INVENTOR(S): Blackburn, Thomas P.; Konkell, Michael J.; Boteju, Lakmal W.; Talisman, Ian Jamie; Wetzell, John M.; Packiarajan, Mathivanan; Chen, Heidi; Jimenez, Hermo
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 265 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003078271	A1	20030424	US 2002-66175	20020131
US 2004102507	A1	20040527	US 2003-414660	20030416
US 2004127502	A1	20040701	US 2003-723961	20031126
PRIORITY APPLN. INFO.:			US 2001-265586P	P 20010131
			US 2002-66175	B2 20020131
			US 2002-214873	B2 20020807

OTHER SOURCE(S): MARPAT 138:321291

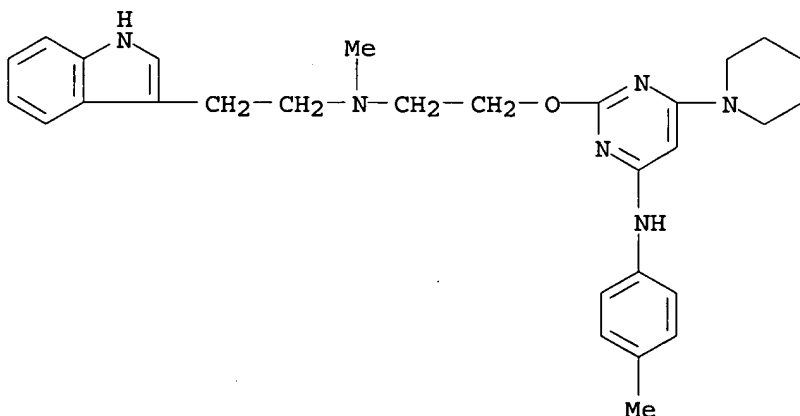
IT 445452-73-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrimidine and indol-2-one derivs. as galanin GAL3 receptor antagonists for the treatment of depression and/or anxiety)

RN 445452-73-3 HCAPLUS

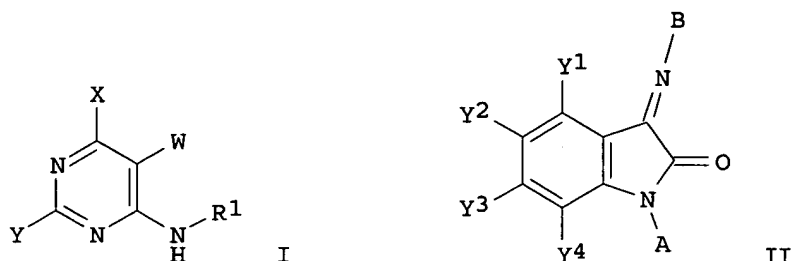
CN 1H-Indole-3-ethanamine, N-methyl-N-[2-[[4-[(4-methylphenyl)amino]-6-(1-piperidinyl)-2-pyrimidinyl]oxy]ethyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 11 OF 25 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 09 Aug 2002
GI

Young, Shawquia

15/05/2006



AB The title compds. [I (wherein W = H, halo, CN, etc.; X = substituted NH₂, (un)substituted piperidino, 4-oxopiperidino, piperazino; R₁ = bicyclic ring, adamantyl, (hetero)aryl, etc.; Y = substituted NH₂, (un)substituted 2-isoquinolinyl, morpholino, etc.) and II (Y₁-Y₄ = H, alkyl, fluoroalkyl, etc.; A = (un)substituted Ph, thienyl, pyridylmethyl, etc.; B = (un)substituted Ph, pyridyl, indolyl, etc.)] which are selective antagonists for the GAL3 receptor, and are useful in treating depression and/or anxiety, were prepared. Various general procedures for synthesis of the compds. I and II and their biol. data, were given. E.g., exemplified compound I [W = H; X = piperidino; Y = N-cyclohexyl-N-methylamino; R₁ = 4-MeC₆H₄] showed K_i of 35 nM against GalR3 receptor binding vs. K_i of 668 nM and K_i of 188 nM against GalR1 and GalR2, resp.

ACCESSION NUMBER: 2002:594639 HCAPLUS
DOCUMENT NUMBER: 137:154941
TITLE: Preparation of pyrimidine and indol-2-one derivatives as galanin GAL3 receptor antagonists for the treatment of depression and/or anxiety
INVENTOR(S): Blackburn, Thomas P.; Konkell, Michael
PATENT ASSIGNEE(S): Synaptic Pharmaceutical Corporation, USA
SOURCE: PCT Int. Appl., 832 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002060392	A2	20020808	WO 2002-US4608	20020131
WO 2002060392	A3	20030925		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2438582	AA	20020808	CA 2002-2438582	20020131
EP 1363638	A2	20031126	EP 2002-714918	20020131
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			

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15/05/2006

CN 1499970	A	20040526	CN 2002-807754	20020131
JP 2004529089	T2	20040924	JP 2002-560588	20020131
BR 2002006844	A	20050712	BR 2002-6844	20020131
ZA 2003005686	A	20041025	ZA 2003-5686	20030723
NO 2003003388	A	20030924	NO 2003-3388	20030729
BG 108114	A	20050331	BG 2003-108114	20030820
PRIORITY APPLN. INFO.:			US 2001-775341	A 20010131
			WO 2002-US4608	W 20020131

OTHER SOURCE(S): MARPAT 137:154941

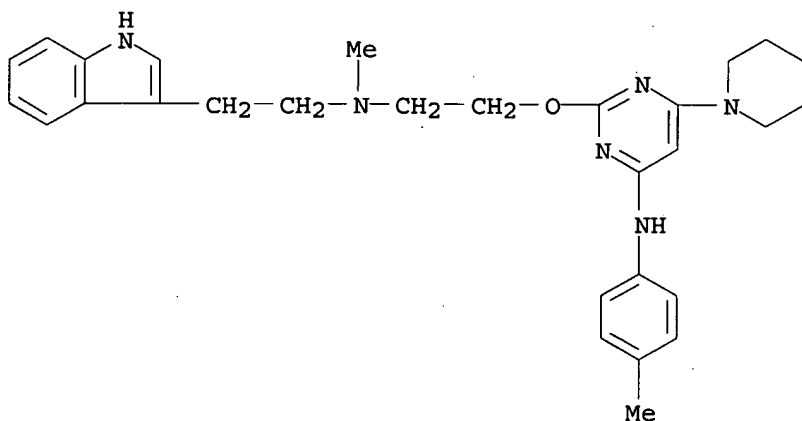
IT 445452-73-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrimidine and indol-2-one derivs. as galanin GAL3 receptor antagonists for the treatment of depression and/or anxiety)

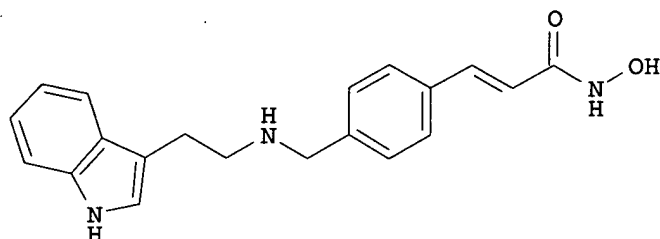
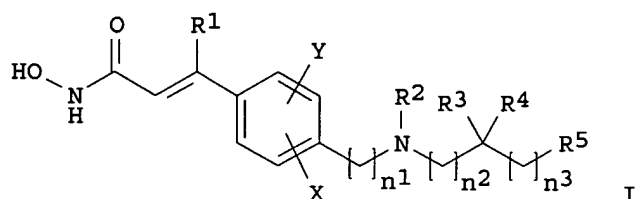
RN 445452-73-3 HCAPLUS

CN 1H-Indole-3-ethanamine, N-methyl-N-[2-[[4-[(4-methylphenyl)amino]-6-(1-piperidinyl)-2-pyrimidinyl]oxy]ethyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 12 OF 25 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 22 Mar 2002
GI

15/05/2006



II

AB The title compds. [I; R1 = H, halo, alkyl; R2 = H, alkyl, cycloalkyl, etc.; R3, R4 = H, alkyl, acyl, acylamino; or R3 and R4 together with the carbon atom to which they are bound = CO, CS, C:NR8; or R2 together with the N atom to which is bound and R3 together with the C atom to which it is bound form heterocycloalkyl, heteroaryl, etc.; R5 = H, alkyl, aryl, etc.; n1-n3 = 0-6; X, Y = H, halo, alkyl, etc.; R8 = H, alkyl, aryl, etc.] which are deacetylase inhibitors and therefore suitable for pharmaceutical compns. having anti-proliferative properties, were prepared E.g., a 3-step synthesis of II, starting with 4-formylcinnamic acid, was given. The exemplified compds. I showed IC50 of 0.005-0.5 μ M against HDA.

ACCESSION NUMBER: 2002:220554 HCAPLUS
DOCUMENT NUMBER: 136:262995
TITLE: Preparation of hydroxamic acids as deacetylase inhibitors
INVENTOR(S): Bair, Kenneth Walter; Green, Michael A.; Perez, Lawrence B.; Remiszewski, Stacy W.; Sambucetti, Lidia; Versace, Richard William; Sharma, Sushil Kumar
PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis-Erfindungen Verwaltungsgesellschaft mbH; Novartis Pharma GmbH
SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022577	A2	20020321	WO 2001-EP10037	20010830
WO 2002022577	A3	20020906		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,			

15/05/2006

DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2420899	AA	20020321	CA 2001-2420899	20010830
AU 2001082129	A5	20020326	AU 2001-82129	20010830
BR 2001013669	A	20030603	BR 2001-13669	20010830
EP 1318980	A2	20030618	EP 2001-960717	20010830
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004509105	T2	20040325	JP 2002-526830	20010830
NZ 524365	A	20041126	NZ 2001-524365	20010830
US 2003018062	A1	20030123	US 2001-944275	20010831
US 6552065	B2	20030422		
US 2004024067	A1	20040205	US 2002-299518	20021116
ZA 2003001423	A	20040421	ZA 2003-1423	20030221
NO 2003000867	A	20030225	NO 2003-867	20030225
US 2005085507	A1	20050421	US 2004-984501	20041109
PRIORITY APPLN. INFO.:				
			US 2000-229943P	P 20000901
			US 2001-292232P	P 20010518
			US 2001-307490P	P 20010724
			WO 2001-EP10037	W 20010830
			US 2001-944275	A1 20010831
			US 2002-299518	A1 20021116

OTHER SOURCE(S): MARPAT 136:262995

IT 404948-63-6P 404949-06-0P 404949-08-2P

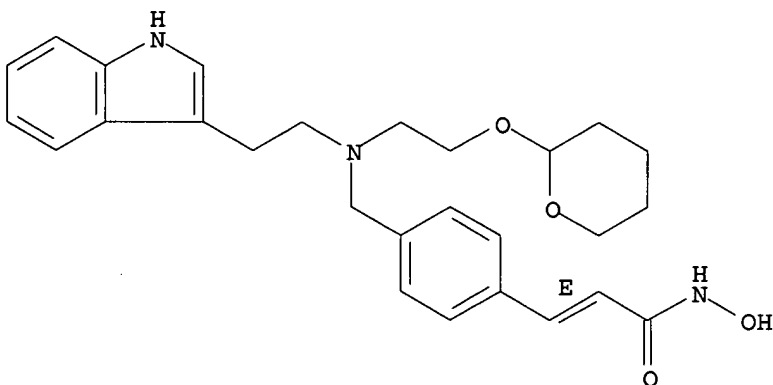
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of hydroxamic acids as deacetylase inhibitors)

RN 404948-63-6 HCAPLUS

CN 2-Propenamide, N-hydroxy-3-[4-[[[2-(1H-indol-3-yl)ethyl] 2-[(tetrahydro-2H-
pyran-2-yl)oxy]ethyl]amino]methyl]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



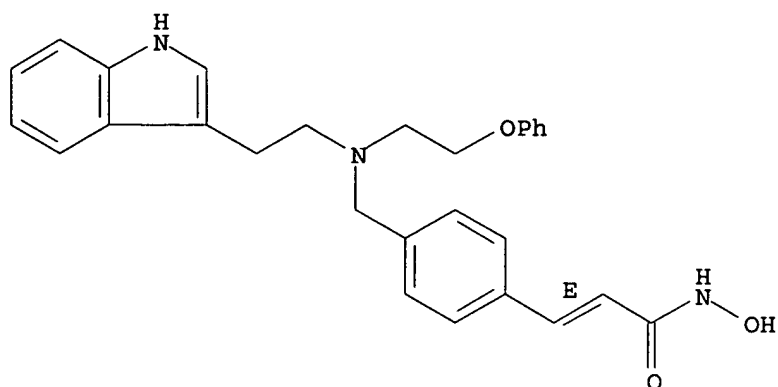
RN 404949-06-0 HCAPLUS

CN 2-Propenamide, N-hydroxy-3-[4-[[[2-(1H-indol-3-yl)ethyl] 2-
phenoxyethyl]amino]methyl]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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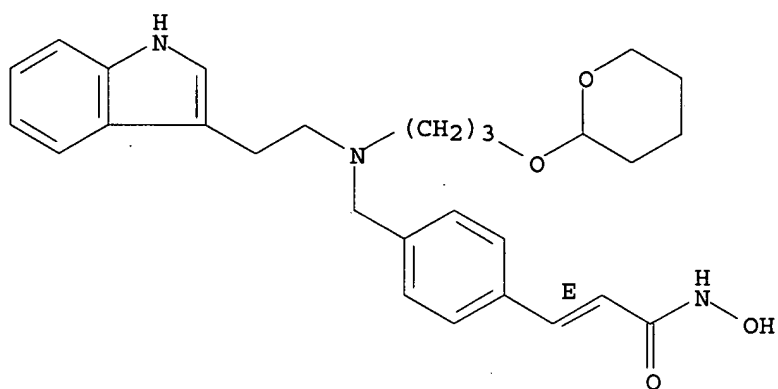
15/05/2006



RN 404949-08-2 HCAPLUS

CN 2-Propenamide, N-hydroxy-3-[4-[[[2-(1H-indol-3-yl)ethyl] [3-[(tetrahydro-2H-pyran-2-yl)oxy]propyl]amino]methyl]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L4 ANSWER 13 OF 25 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 10 Feb 2002

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Imidazole derivs. I [R1 = H, (CH2)mCO(CH2)mZ1, (CH2)mZ1, etc.; Z1 = (un)substituted benzo[b]thiophene, Ph, naphthyl, etc.; m = 0-6; R2 = H, alkyl; R1 and R2 taken together with the nitrogen atoms to which they are attached form II-IV; R3 = (CH2)mE(CH2)mZ2; E = O, S, CO, etc.; Z2 = H, alkyl, NH2, etc.; R4 = H, (CH2)mA1; A1 = C(:Y)NX1X2; C(:Y)X2; C(:NH)X2, X2; Y = O, S; X1 = H, alkyl, etc.; X2 = alkyl, etc.; R5 = alkyl, (un)substituted aryl, etc.; R6 = H, alkyl; R7 = alkyl, (CH2)mZ4; Z4 = (un)substituted Ph, naphthyl, indolyl, etc.], which are useful as agonists or antagonists of somatostatin receptors (no data) and for inhibiting the proliferation of *Helicobacter pylori*, were prepared Thus, activating 2-furancarboxylic acid with carbonyldiimidazole followed by addition of

Young, Shawquia

15/05/2006

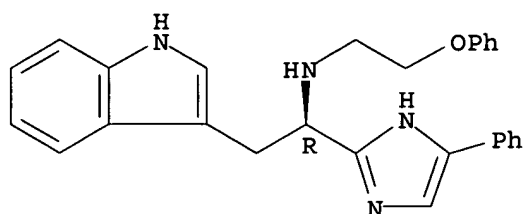
2-{(1S)-1-amino-2-(indol-3-yl)ethyl}-4-phenyl-1H-imidazole afforded 94% the title compound V. Compds. I are effective at 0.01-10.0 mg/kg/day.

ACCESSION NUMBER: 2002:107321 HCAPLUS
DOCUMENT NUMBER: 136:167373
TITLE: Preparation of imidazolyl derivatives as agonists or antagonists of somatostatin receptors
INVENTOR(S): Thuriereau, Christophe Alain; Poitout, Lydie Francine; Galcera, Marie-Odile; Gordon, Thomas D.; Morgan, Barry A.; Moinet, Christophe Philippe; Bigg, Dennis
PATENT ASSIGNEE(S): Societe De Conseils De Recherches Et D'applications Scientifiques (S.C.R.A.S.), Fr.
SOURCE: PCT Int. Appl., 369 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

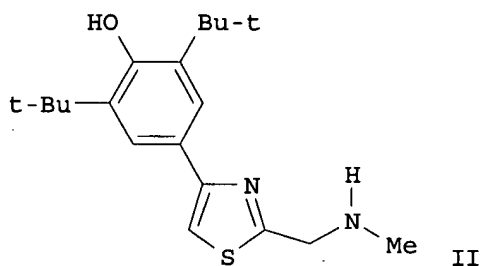
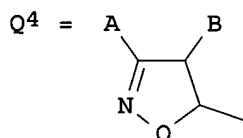
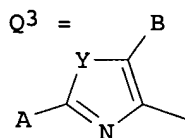
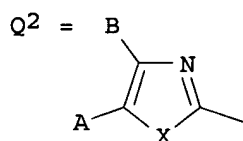
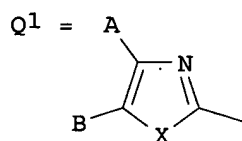
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002010140	A2	20020207	WO 2001-US23959	20010731
WO 2002010140	A3	20020808		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2417204	AA	20020207	CA 2001-2417204	20010731
EP 1305294	A2	20030502	EP 2001-957342	20010731
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JP 2004518613	T2	20040624	JP 2002-516272	20010731
NZ 523774	A	20040924	NZ 2001-523774	20010731
NO 2003000473	A	20030130	NO 2003-473	20030130
PRIORITY APPLN. INFO.:			US 2000-222584P	P 20000801
			WO 2001-US23959	W 20010731
OTHER SOURCE(S):	MARPAT 136:167373			
IT 335243-62-4P				
RL:	PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)			
	(preparation of imidazolyl derivs. as agonists or antagonists of somatostatin receptors)			
RN 335243-62-4 HCAPLUS				
CN 1H-Indole-3-ethanamine, N-(2-phenoxyethyl)- α -(4-phenyl-1H-imidazol-2-yl)-, (α R)- (9CI) (CA INDEX NAME)				

Absolute stereochemistry.

15/05/2006



L4 ANSWER 14 OF 25 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 20 Apr 2001
GI



AB The invention relates to pharmaceutical use of heterocyclic compds. of general formula Het(A)(B)-(CH₂)_n-CR₁R₂-Q [I; wherein the substituted heterocyclic ring Het(A)(B) = Q¹-Q⁴; A = various aryl or heteroaryl systems, especially a substituted Ph or biphenyl radical, or also alkyl, cycloalkyl, or cycloalkylalkyl; B = especially H or alkyl, or also aryl or substituted alkyl; X = especially NH or S, or also substituted NH; Y = O or S; n = 0-6; R₁, R₂ = especially H, alkyl, or cycloalkyl; Q = NR₃R₄ or OR₅; R₃ and R₄ = especially H, alkyl, cycloalkyl, alkynyl, cyanoalkyl, alkoxy carbonyl, aralkoxy carbonyl or (cycloalkyl)oxy carbonyl; R₅ = H, alkyl, alkynyl, or cyanoalkyl]. I and their racemates, enantiomers, and/or salts can be used for producing medicaments for inhibiting monoamine oxidases (MAO), inhibiting lipid peroxidn., and/or for acting as modulators of sodium channels. The resulting medicaments are particularly for use in treating

Young, Shawquia

15/05/2006

Parkinson's disease, senile dementia, Alzheimer's disease, Huntington's chorea, amyotrophic lateral sclerosis, schizophrenia, depression, psychosis, pain and epilepsy. Approx. 350 synthetic examples of I and their salts are given, and numerous free bases of I are claimed. For instance, protection of sarcosinamide-HCl with BOC anhydride gave 72% BOC-N(Me)CH₂CONH₂, which was converted to the thioamide with (P₂S₅)₂ in 65% yield. Cyclocondensation of the thioamide with 2-bromo-1-(3,5-di-tert-butyl-4-hydroxyphenyl)ethanone (28%), followed by deprotection (73%) and salification (92%), gave thiazole derivative II as the HCl salt. II inhibited binding of the MAO-B specific ligand [3H]-Ro-19-6327 to rat mitochondrial preps. with IC₅₀ < 10 µM. Selected I also inhibited formation of malondialdehyde by lipid peroxidn. in rat cerebral cortex preps., and inhibited specific binding of [3H]-batrachotoxin to voltage-dependent sodium channels in rat cerebral cortex homogenates.

ACCESSION NUMBER: 2001:283789 HCAPLUS
DOCUMENT NUMBER: 134:311210
TITLE: 5-Membered heterocycle derivatives useful as monoamine oxidase inhibitors, lipid peroxidation inhibitors, and sodium channel modulators, and the production thereof, and use thereof as medicaments
INVENTOR(S): Chabrier de Lassauniere, Pierre-Etienne; Harnett, Jeremiah; Bigg, Dennis; Pommier, Jacques; Lannoy, Jacques; Liberatore, Anne-Marie; Thurieau, Christophe
PATENT ASSIGNEE(S): Societe de Conseils de Recherches et d'Applications Scientifiques (S.C.R.A.S, Fr.
SOURCE: PCT Int. Appl., 261 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001026656	A2	20010419	WO 2000-FR2805	20001010
WO 2001026656	A3	20020418		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
FR 2799461	A1	20010413	FR 1999-12643	19991011
FR 2799461	B1	20020104		
FR 2812546	A1	20020208	FR 2000-10151	20000801
CA 2388505	AA	20010419	CA 2000-2388505	20001010
BR 2000014649	A	20020618	BR 2000-14649	20001010
EP 1223933	A2	20020724	EP 2000-967988	20001010
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			
EP 1228760	A2	20020807	EP 2002-76763	20001010
EP 1228760	A3	20040128		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			
JP 2003511416	T2	20030325	JP 2001-529718	20001010
NZ 518304	A	20040730	NZ 2000-518304	20001010
NZ 533429	A	20040924	NZ 2000-533429	20001010

15/05/2006

AU 783129	B2	20050929	AU 2000-77965	20001010
EP 1589007	A2	20051026	EP 2005-76749	20001010
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, CY				
RU 2271355	C2	20060310	RU 2002-112227	20001010
NO 2002001689	A	20020530	NO 2002-1689	20020410
US 2004132788	A1	20040708	US 2003-681002	20031008
US 2005038087	A1	20050217	US 2004-915001	20040810
PRIORITY APPLN. INFO.:				
			FR 1999-12643	A 19991011
			FR 2000-10151	A 20000801
			FR 2000-11169	A 20000901
			EP 2000-967988	A3 20001010
			EP 2002-76763	A3 20001010
			WO 2000-FR2805	W 20001010
			FR 2001-4943	A 20010410
			FR 2002-1811	A 20020214
			US 2002-89993	A2 20020404
			WO 2002-FR1218	A1 20020409
			US 2003-681002	A2 20031008

OTHER SOURCE(S): MARPAT 134:311210

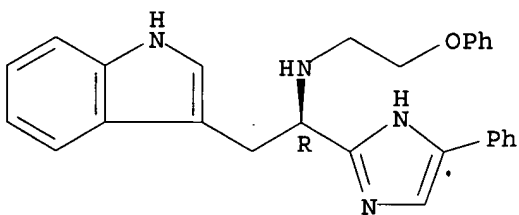
IT 335243-62-4P, (1R)-2-(1H-Indol-3-yl)-N-(2-phenoxyethyl)-1-(4-phenyl-1H-imidazol-2-yl)ethanamine 335243-66-8P, (1R)-2-(1H-Indol-3-yl)-N-(2-phenoxyethyl)-1-(4-phenyl-1,3-thiazol-2-yl)ethanamine

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of five-membered heterocycle derivs. as MAO inhibitors, lipid peroxidn. inhibitors, and sodium channel modulators)

RN 335243-62-4 HCAPLUS

CN 1H-Indole-3-ethanamine, N-(2-phenoxyethyl)- α -(4-phenyl-1H-imidazol-2-yl)-, (α R)- (9CI) (CA INDEX NAME)

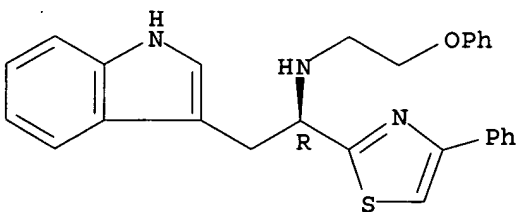
Absolute stereochemistry.



RN 335243-66-8 HCAPLUS

CN 1H-Indole-3-ethanamine, N-(2-phenoxyethyl)- α -(4-phenyl-2-thiazolyl)-, (α R)- (9CI) (CA INDEX NAME)

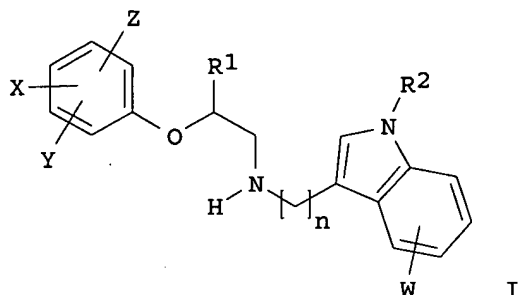
Absolute stereochemistry.



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15/05/2006

L4 ANSWER 15 OF 25 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 21 Sep 2000
GI



AB The title compds. [I; R1 = H, alkyl, aryl; R2 = H, alkyl, (un)substituted phenyl; X, Y = H, alkyl, alkoxy, halo; X and Y combine together with the carbon atoms to which they are attached to complete a pyranyl, dihydrofuranyl, furanyl, dioxanyl group; Z = H, halo, alkoxy; with the proviso that when X, Y or Z = alkoxy, they are not present at the ortho position; W = H, halo, alkyl, CN, CF3; n = 2-5] and their pharmaceutically acceptable salts, useful for alleviating symptoms of depression, were prepared. Thus, hydrogenation of benzyl-[3-(1H-indol-3-yl)propyl]-[2-(2-methoxyphenoxy)ethyl]amine over 5% Pd/C afforded 52% I [R1, R2 = H; X = 2-MeO; Y, Z = H; W = H; n = 3] which showed Ki of 1.97 nM against 5-HT1A receptor binding.

ACCESSION NUMBER: 2000:661199 HCAPLUS
DOCUMENT NUMBER: 133:237862
TITLE: Preparation of N-aryloxyethyl-N-indolylalkylamines for the treatment of depression
INVENTOR(S): Mewshaw, Richard E.; Zhou, Dahui
PATENT ASSIGNEE(S): American Home Products Corp., USA
SOURCE: U.S., 21 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6121307	A	20000919	US 1999-287831	19990407
US 6291683	B1	20010918	US 2000-593267	20000613
PRIORITY APPLN. INFO.:			US 1998-92116P	P 19980408
			US 1999-287831	A3 19990407

OTHER SOURCE(S): MARPAT 133:237862
IT 245762-57-6P 245762-58-7P 245762-59-8P
245762-60-1P 245762-61-2P 245762-62-3P
245762-63-4P 245762-64-5P 245762-65-6P
245762-66-7P 245762-67-8P 245762-68-9P
245762-69-0P 245762-71-4P 245762-72-5P
245762-73-6P 245762-74-7P 245762-75-8P

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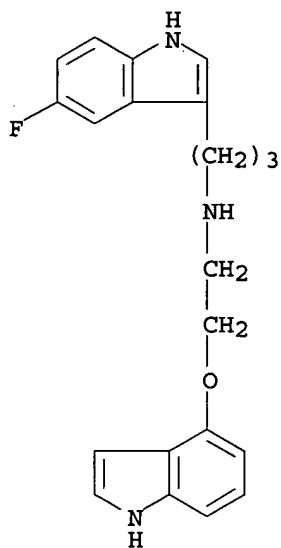
15/05/2006

245762-76-9P 245762-77-0P 245762-78-1P
245762-85-0P 245762-86-1P 245762-89-4P
294203-10-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-aryloxyethyl-N-indolylalkylamines for the treatment of depression)

RN 245762-57-6 HCAPLUS

CN 1H-Indole-3-propanamine, 5-fluoro-N-[2-(1H-indol-4-yloxy)ethyl]- (9CI)
(CA INDEX NAME)



RN 245762-58-7 HCAPLUS

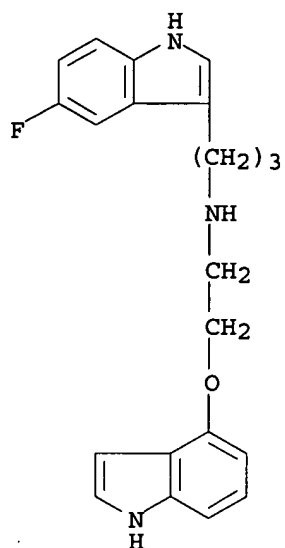
CN 1H-Indole-3-propanamine, 5-fluoro-N-[2-(1H-indol-4-yloxy)ethyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

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CRN 245762-57-6

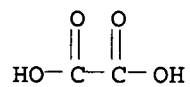
CMF C21 H22 F N3 O

15/05/2006



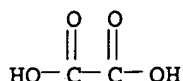
CM 2

CRN 144-62-7
CMF C2 H2 O4



RN 245762-59-8 HCAPLUS
CN 1H-Indole-3-propanamine, N-[2-(1H-indol-4-yloxy)ethyl]- (9CI) (CA INDEX NAME)

15/05/2006



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 25 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 16 Jun 2000
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R1 = H, halo, CF3, etc.; R2, R3 = H, CF3, alkyl, etc.; n = 1-5; m = 0-1; A = N(R4)DsZq, II-IV (wherein Z = O, S; s = 0-1; q = 0-1; R4 = H, alkyl, alkenyl, etc.; D = alkylene, alkenylene, alkynylene); B = (un)substituted Ph, indolyl, etc.; Ar = (un)substituted Ph, thienyl, furanyl, etc.] and their pharmaceutically acceptable acid addition salts which are potently binding to the 5-HT1A receptor, were prepared Thus, reacting 5-(4-bromobutyl)-1,4-benzodioxane (preparation given) with (+)-1-[3-(methylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydroisobenzofuran-5-carbonitrile in the presence of K2CO3 in Me iso-Bu ketone afforded 73% (+)-V which showed IC50 of 39 nM against 3H-5-CT binding and IC50 of 60 nM against serotonin reuptake.

ACCESSION NUMBER: 2000:401811 HCAPLUS
DOCUMENT NUMBER: 133:43427
TITLE: Preparation of benzofurans as 5-HT1A receptor ligands
INVENTOR(S): Andersen, Kim; Rottlander, Mario; Bogeso, Klaus Peter; Pedersen, Henrik; Ruhland, Thomas; Dancer, Robert
PATENT ASSIGNEE(S): H. Lundbeck A/S, Den.
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000034263	A1	20000615	WO 1999-DK676	19991203
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2353618	AA	20000615	CA 1999-2353618	19991203
BR 9916873	A	20010821	BR 1999-16873	19991203
EP 1137644	A1	20011004	EP 1999-957263	19991203
EP 1137644	B1	20030910		
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TR 200101605	T2	20011022	TR 2001-200101605	19991203

Young, Shawquia

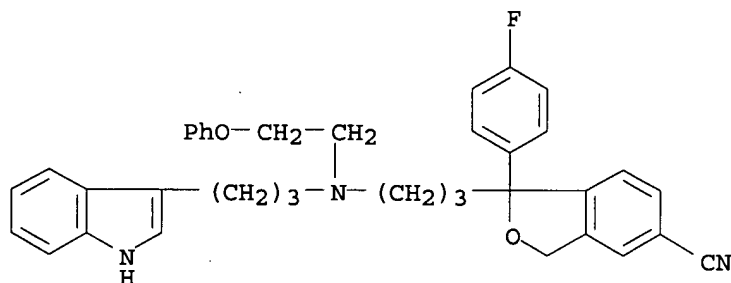
JP 2002531556	T2	20020924	JP 2000-586710	19991203
AU 759248	B2	20030410	AU 2000-15036	19991203
AT 249451	E	20030915	AT 1999-957263	19991203
NZ 511751	A	20030926	NZ 1999-511751	19991203
PT 1137644	T	20040130	PT 1999-957263	19991203
ES 2204175	T3	20040416	ES 1999-957263	19991203
IL 143082	A1	20040620	IL 1999-143082	19991203
ZA 2001003987	A	20020516	ZA 2001-3987	20010516
HR 2001000418	A1	20020630	HR 2001-418	20010601
US 2002032205	A1	20020314	US 2001-874392	20010604
NO 2001002802	A	20010807	NO 2001-2802	20010607
BG 105646	A	20020228	BG 2001-105646	20010625
HK 1043121	A1	20051216	HK 2002-104563	20020619

US 1998-111360P	P	19981208
DK 1998-1631	A	19981209
WO 1999-DK676	W	19991203
US 2000-632117	A	20000803
WO 2001-US23487	A	20010726

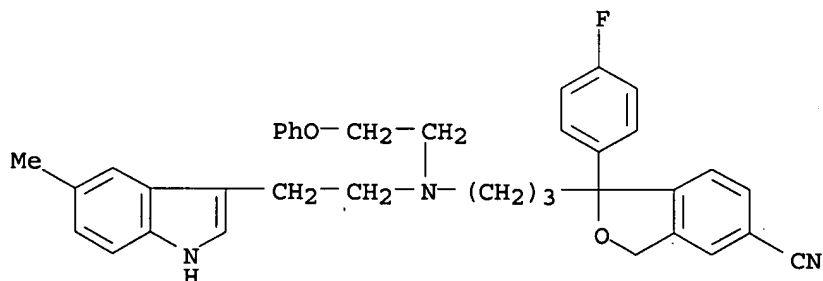
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274910-08-6P 274910-09-7P 274910-10-0P
274910-12-2P 274910-13-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzofurans as 5-HT1A receptor ligands)

CN 5-Isobenzofurancarbonitrile, 1-(4-fluorophenyl)-1,3-dihydro-1-[3-[[3-(1H-indol-3-yl)propyl](2-phenoxyethyl)amino]propyl]- (9CI) (CA INDEX NAME)



CN 5-Isobenzofurancarbonitrile, 1-(4-fluorophenyl)-1,3-dihydro-1-[3-[[2-(5-methyl-1H-indol-3-yl)ethyl](2-phenoxyethyl)amino]propyl]- (9CI) (CA INDEX NAME)

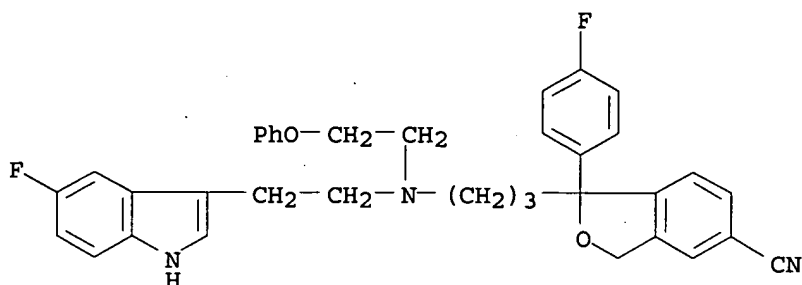


Young, Shawquia

15/05/2006

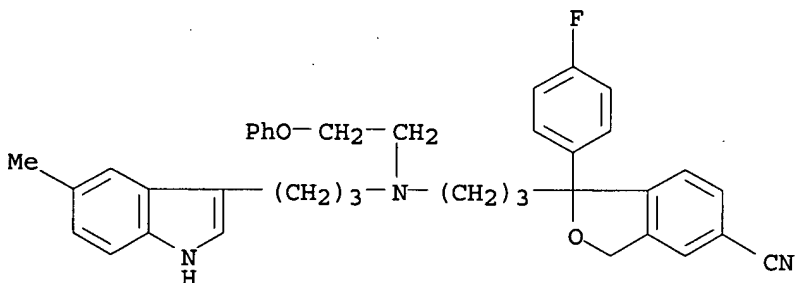
RN 274910-06-4 HCAPLUS

CN 5-Isobenzofurancarbonitrile, 1-[3-[[2-(5-fluoro-1H-indol-3-yl)ethyl](2-phenoxyethyl)amino]propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



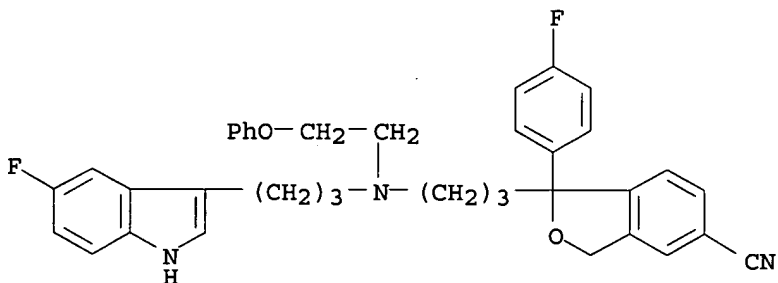
RN 274910-08-6 HCAPLUS

CN 5-Isobenzofurancarbonitrile, 1-(4-fluorophenyl)-1,3-dihydro-1-[3-[[3-(5-methyl-1H-indol-3-yl)propyl](2-phenoxyethyl)amino]propyl]- (9CI) (CA INDEX NAME)



RN 274910-09-7 HCAPLUS

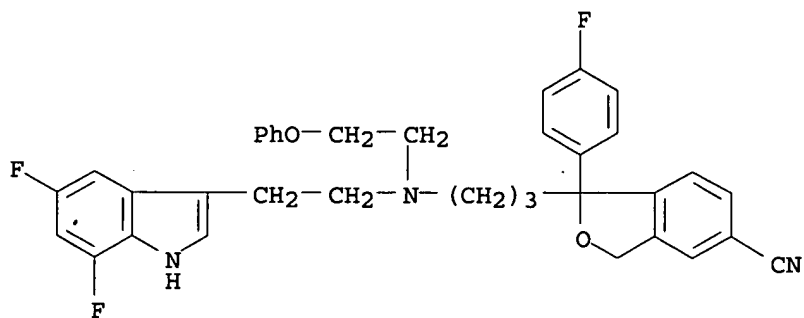
CN 5-Isobenzofurancarbonitrile, 1-[3-[[3-(5-fluoro-1H-indol-3-yl)propyl](2-phenoxyethyl)amino]propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



RN 274910-10-0 HCAPLUS

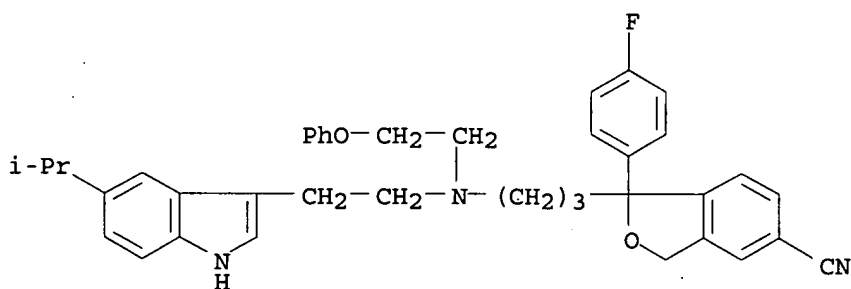
CN 5-Isobenzofurancarbonitrile, 1-[3-[[2-(5,7-difluoro-1H-indol-3-yl)ethyl](2-phenoxyethyl)amino]propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)

15/05/2006



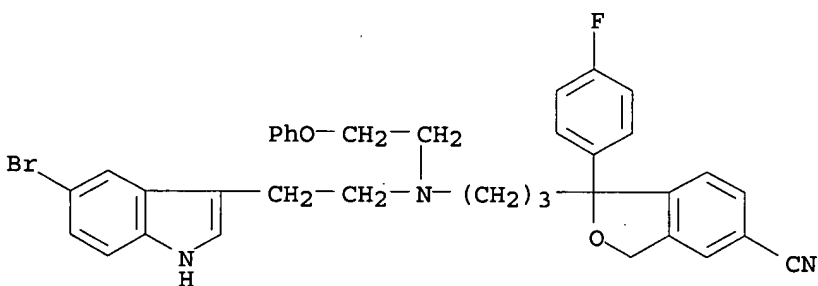
RN 274910-12-2 HCAPLUS

CN 5-Isobenzofurancarboxitrile, 1-(4-fluorophenyl)-1,3-dihydro-1-[3-[[2-[5-(1-methylethyl)-1H-indol-3-yl]ethyl](2-phenoxyethyl)amino]propyl]- (9CI) (CA INDEX NAME)



RN 274910-13-3 HCAPLUS

CN 5-Isobenzofurancarboxitrile, 1-[3-[[2-(5-bromo-1H-indol-3-yl)ethyl](2-phenoxyethyl)amino]propyl]-1-(4-fluorophenyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

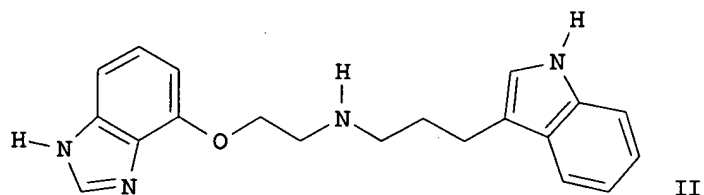
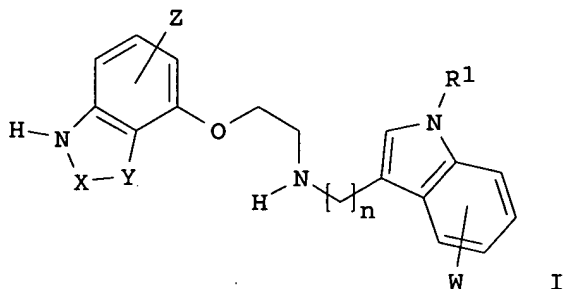
L4 ANSWER 17 OF 25 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 15 Oct 1999

GI

Young, Shawquia

15/05/2006



AB The title compds. [I; R1 = H, lower alkyl, (un)substituted Ph; X and Y together complete a lactam, imidazole, imidazolone, thioimidazolone ring; Z = H, halo, lower alkoxy; W = H, halo, lower alkoxy, etc.; n = 2-5] or their pharmaceutically acceptable salts, effective in treating disorders of the serotonin-affected neurol. systems such as depression and anxiety, were prepared Thus, a multistep synthesis of compound II which showed Ki of 0.87 nM against 5-HT1A binding, starting with 3-indolepropionic acid, was given.

ACCESSION NUMBER: 1999:659374 HCAPLUS
DOCUMENT NUMBER: 131:286512
TITLE: Preparation of N-aryloxyethyl-indolyl-alkylamines for the treatment of depression
INVENTOR(S): Mewshaw, Richard Eric; Nelson, James Albert
PATENT ASSIGNEE(S): American Home Products Corporation, USA
SOURCE: PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9951591	A2	19991014	WO 1999-US7658	19990407
WO 9951591	A3	19991209		
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2327513	AA	19991014	CA 1999-2327513	19990407
AU 9934792	A1	19991025	AU 1999-34792	19990407

Young, Shawquia

15/05/2006

US 6150533	A	20001121	US 1999-287832	19990407
EP 1068199	A2	20010117	EP 1999-916480	19990407
EP 1068199	B1	20021113		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
JP 2002510681	T2	20020409	JP 2000-542312	19990407
AT 227718	E	20021115	AT 1999-916480	19990407
PT 1068199	T	20030228	PT 1999-916480	19990407
ES 2188155	T3	20030616	ES 1999-916480	19990407
CN 1135227	B	20040121	CN 1999-807014	19990407
PRIORITY APPLN. INFO.:			US 1998-57159	A 19980408
			US 1998-104587P	P 19980408
			WO 1999-US7658	W 19990407

OTHER SOURCE(S): MARPAT 131:286512

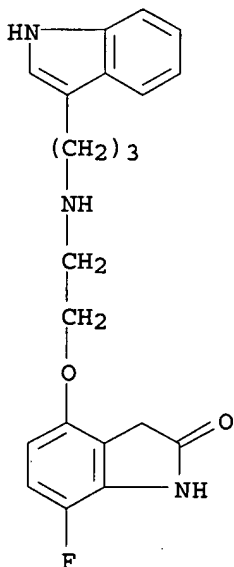
IT 214078-67-8P 214078-68-9P 246019-05-6P
246019-06-7P 246019-07-8P 246019-08-9P
246019-09-0P 246019-19-2P 246019-20-5P
246019-21-6P 246019-22-7P 246019-23-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-aryloxyethyl-indolyl-alkylamines for the treatment of depression)

RN 214078-67-8 HCAPLUS

CN 2H-Indol-2-one, 7-fluoro-1,3-dihydro-4-[2-[[3-(1H-indol-3-yl)propyl]amino]ethoxy]- (9CI) (CA INDEX NAME)



RN 214078-68-9 HCAPLUS

CN 2H-Indol-2-one, 7-fluoro-1,3-dihydro-4-[2-[[3-(1H-indol-3-yl)propyl]amino]ethoxy]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

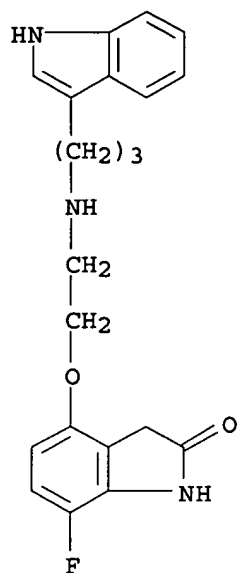
CM 1

CRN 214078-67-8

CMF C21 H22 F N3 O2

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15/05/2006

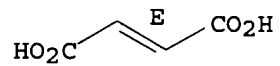


CM 2

CRN 110-17-8

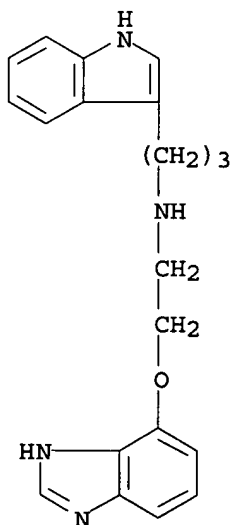
CMF C4 H4 O4

Double bond geometry as shown.



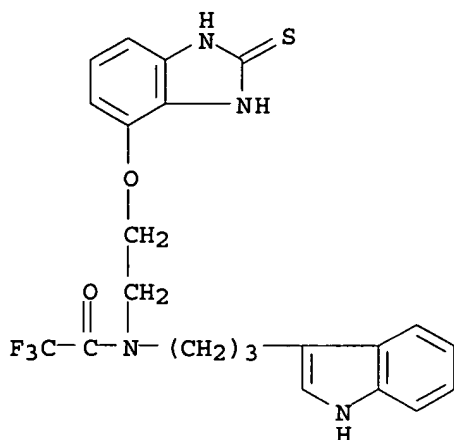
RN 246019-05-6 HCAPLUS

CN 1H-Indole-3-propanamine, N-[2-(1H-benzimidazol-4-yloxy)ethyl]- (9CI) (CA
INDEX NAME)



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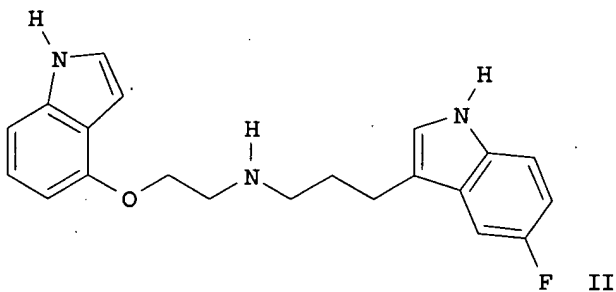
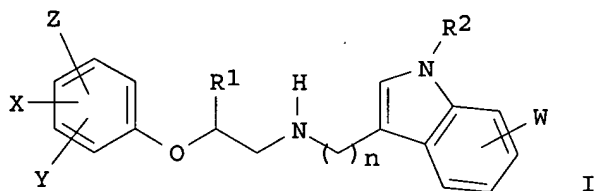
15/05/2006



L4 ANSWER 18 OF 25 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 15 Oct 1999.

GI



AB Compds. I, which are 5-HT_{1A} receptor-active, and which are useful for alleviating symptoms of depression, are provided [wherein: R₁ = H, alkyl, aryl; R₂ = H, alkyl, (un)substituted Ph; X, Y = H, alkyl, alkoxy, or halo; or XY = atoms to form fusion with cyclopentyl, cyclohexyl, Ph, pyrrolyl, pyranyl, pyridinyl, dihydrofuranyl, furanyl, dioxanyl, oxazolyl or isoxazolyl nucleus; Z = H, halo, alkoxy; with the proviso that when X, Y, or Z = alkoxy, it is not present at the ortho position; W = H, halo, alkyl, cyano, CF₃; n = 2-5; or pharmaceutically acceptable salts thereof]. Examples include preparation of over 50 intermediates, and preparation and testing

Young, Shawquia

15/05/2006

of approx. 25 invention compds. For instance, condensation of 2-(1H-indol-4-yloxy)ethyl chloride with 5-fluoroindole-3-propylamine in DMSO at 90° gave title compound II. The latter compound bound to human 5-HT1A receptors in vitro with Ki of 1.50 nM.

ACCESSION NUMBER: 1999:659361 HCAPLUS
DOCUMENT NUMBER: 131:286400
TITLE: N-[(Aryloxy)ethyl]indolylalkylamines for the treatment of depression (5-HT1A receptor-active agents)
INVENTOR(S): Mewshaw, Richard Eric; Zhou, Dahui
PATENT ASSIGNEE(S): American Home Products Corporation, USA
SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9951575	A1	19991014	WO 1999-US7621	19990407
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2327359	AA	19991014	CA 1999-2327359	19990407
AU 9933861	A1	19991025	AU 1999-33861	19990407
EP 1070050	A1	20010124	EP 1999-915317	19990407
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI			
JP 2002510675	T2	20020409	JP 2000-542296	19990407
PRIORITY APPLN. INFO.:			US 1998-57252	A 19980408
			WO 1999-US7621	W 19990407

OTHER SOURCE(S): MARPAT 131:286400

IT 245762-57-6P, [3-(5-Fluoro-1H-indol-3-yl)propyl][2-(1H-indol-4-yloxy)ethyl]amine 245762-58-7P, [3-(5-Fluoro-1H-indol-3-yl)propyl][2-(1H-indol-4-yloxy)ethyl]amine oxalate 245762-59-8P, [2-(1H-Indol-4-yloxy)ethyl][3-(1H-indol-3-yl)propyl]amine 245762-60-1P, [2-(1H-Indol-4-yloxy)ethyl][3-(1H-indol-3-yl)propyl]amine oxalate 245762-61-2P, [4-(1H-Indol-3-yl)butyl][2-(1H-indol-4-yloxy)ethyl]amine 245762-62-3P, [4-(1H-Indol-3-yl)butyl][2-(1H-indol-4-yloxy)ethyl]amine oxalate 245762-63-4P, [2-[(2,3-Dihydrobenzo[1,4]dioxin-5-yl)oxy]ethyl][2-(1H-indol-3-yl)ethyl]amine 245762-64-5P, [2-[(2,3-Dihydrobenzo[1,4]dioxin-5-yl)oxy]ethyl][2-(1H-indol-3-yl)ethyl]amine oxalate 245762-65-6P, [2-[(2,3-Dihydrobenzo[1,4]dioxin-5-yl)oxy]ethyl][3-(5-fluoro-1H-indol-3-yl)propyl]amine 245762-66-7P, [2-[(2,3-Dihydrobenzo[1,4]dioxin-5-yl)oxy]ethyl][3-(5-fluoro-1H-indol-3-yl)propyl]amine hemifumarate 245762-67-8P, [2-[(6-Fluorochroman-8-yl)oxy]ethyl][2-(1H-indol-3-yl)ethyl]amine 245762-68-9P, [2-[(6-Fluorochroman-8-yl)oxy]ethyl][2-(1H-indol-3-yl)ethyl]amine oxalate 245762-69-0P, [2-[(6-Fluorochroman-8-yl)oxy]ethyl][3-(5-fluoro-1H-indol-3-yl)propyl]amine 245762-70-3P, [2-[(6-Fluorochroman-8-yl)oxy]ethyl][3-(5-fluoro-1H-indol-3-yl)propyl]amine hemioxalate 245762-71-4P, [2-[(6-Fluorochroman-8-yl)oxy]ethyl][2-(5-fluoro-1H-indol-3-yl)ethyl]amine 245762-72-5P, [2-[(6-Fluorochroman-8-yl)oxy]ethyl][2-(5-fluoro-1H-indol-3-yl)ethyl]amine oxalate

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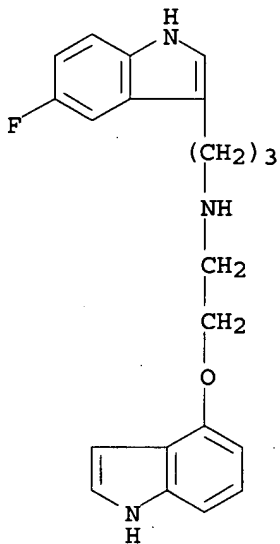
245762-73-6P, [2-[(2,3-Dihydrobenzofuran-7-yl)oxy]ethyl][3-(5-fluoro-1H-indol-3-yl)propyl]amine **245762-74-7P**, [2-[(2,3-Dihydrobenzofuran-7-yl)oxy]ethyl][3-(5-fluoro-1H-indol-3-yl)propyl]amine oxalate **245762-75-8P**, [2-(Benzofuran-7-yloxy)ethyl][3-(5-fluoro-1H-indol-3-yl)propyl]amine **245762-76-9P**, [2-(Benzofuran-7-yloxy)ethyl][3-(5-fluoro-1H-indol-3-yl)propyl]amine oxalate **245762-77-0P**, [2-[(5-Fluoro-2,3-dihydrobenzofuran-7-yl)oxy]ethyl][2-(5-fluoro-1H-indol-3-yl)ethyl]amine **245762-78-1P**, [2-[(5-Fluoro-2,3-dihydrobenzofuran-7-yl)oxy]ethyl][2-(5-fluoro-1H-indol-3-yl)ethyl]amine sesquioxalate salt **245762-85-0P**, [3-(1H-Indol-3-yl)propyl](2-phenoxyethyl)amine **245762-86-1P** **245762-89-4P**, [3-(1H-Indol-3-yl)propyl][2-(quinolin-8-yloxy)ethyl]amine **245762-90-7P**, [3-(1H-Indol-3-yl)propyl][2-(quinolin-8-yloxy)ethyl]amine hydrochloride

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(target compound; preparation of (aryloxyethyl)(indolylalkyl)amines as 5-HT1A-active antidepressants)

RN 245762-57-6 HCAPLUS

CN 1H-Indole-3-propanamine, 5-fluoro-N-[2-(1H-indol-4-yloxy)ethyl]- (9CI)
(CA INDEX NAME)



RN 245762-58-7 HCAPLUS

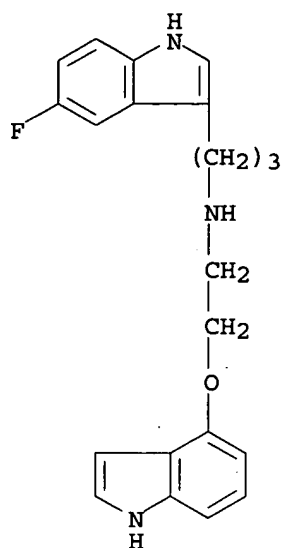
CN 1H-Indole-3-propanamine, 5-fluoro-N-[2-(1H-indol-4-yloxy)ethyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 245762-57-6

CMF C21 H22 F N3 O

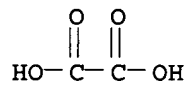
15/05/2006



CM 2

CRN 144-62-7

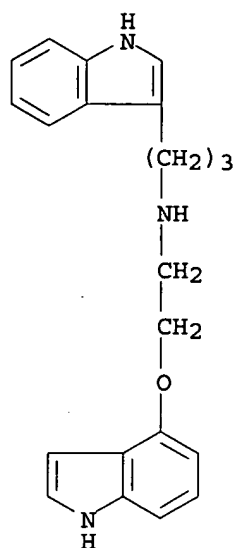
CMF C2 H2 O4



RN 245762-59-8 HCAPLUS

CN 1H-Indole-3-propanamine, N-[2-(1H-indol-4-yloxy)ethyl]- (9CI) (CA INDEX NAME)

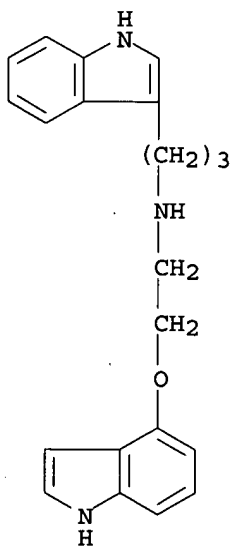
15/05/2006



RN 245762-60-1 HCAPLUS
CN 1H-Indole-3-propanamine, N-[2-(1H-indol-4-yloxy)ethyl]-, ethanedioate
(1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 245762-59-8
CMF C21 H23 N3 O

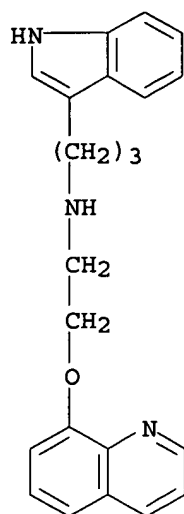


CM 2

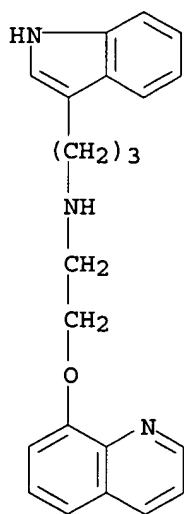
CRN 144-62-7
CMF C2 H2 O4

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15/05/2006



RN 245762-90-7 HCAPLUS
CN 1H-Indole-3-propanamine, N-[2-(8-quinolinylloxy)ethyl]-, monohydrochloride
(9CI) (CA INDEX NAME)



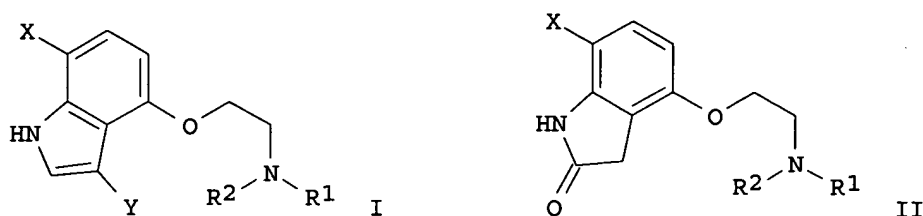
● HCl

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 19 OF 25 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 10 May 1999
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15/05/2006



AB A series of 4-(aminoethoxy)indoles I [R1 = CH2Ph, (CH2)4Ph, n-Bu, etc.; R2 = H, Me; NR1R2 = isoquinolino; X = H, Cl, Y = H, COCF3, Cl] and a related series of 4-(aminoethoxy)indolones II [R1 = Me, CH2Ph, 2-naphthyl, etc.; R2 = H, Me, (CH2)2, CH2; X = H, Cl, F] were synthesized and evaluated for their affinity for both the high- and low-affinity agonist states (D2High and D2Low, resp.) of the dopamine (DA) D2 receptor. The 4-aminoethoxy derivs. I and II were designed as bioisosteric analogs based on the phenol prototype 3-HOC6H4OCH2CH2NHCH2Ph. The indolones II were observed to have high affinity for the D2High receptor. Comparison of their previously reported chroman analogs with the more flexible 4-(aminoethoxy)indoles revealed the chroman analogs to be more potent, whereas little loss in D2High affinity was observed when comparing the 4-(aminoethoxy)indolones with their resp. chroman analogs. Several regions of the phenoxyethylamine framework were modified and recognized as potential sites to modulate the level of intrinsic activity. A conformational anal. was performed and a putative bioactive conformation was proposed which fulfilled the D2 agonist pharmacophore criteria based on the McDermed model. Structure-activity relationships gained from these studies have aided in the synthesis of D2 partial agonists of varying intrinsic activity levels. These agents should be of therapeutic value in treating disorders resulting from hypo- and hyperdopaminergic activity, without the side effects associated with complete D2 agonism or antagonism.

ACCESSION NUMBER: 1999:282837 HCAPLUS
DOCUMENT NUMBER: 131:58719
TITLE: New generation dopaminergic agents. 6.
Structure-activity relationship studies of a series of
4-(aminoethoxy)indole and 4-(aminoethoxy)indolone
derivatives based on the newly discovered
3-hydroxyphenoxyethylamine D2 template
AUTHOR(S): Mewshaw, Richard E.; Webb, Michael B.; Marquis, Karen
L.; McGaughey, Georgia B.; Shi, Xiaojie; Wasik,
Theodore; Scerni, Rosemary; Brennan, Julie A.; Andree,
Terrance H.
CORPORATE SOURCE: Global Chemical Sciences and CNS Disorders
Departments, Wyeth-Ayerst Research Laboratories,
Princeton, NJ, 08543-8000, USA
SOURCE: Journal of Medicinal Chemistry (1999), 42(11),
2007-2020
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 214078-67-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); BIOL (Biological
study); PREP (Preparation)
(preparation, dopamine agonist activity, serotonin and α -receptor
binding, and structure activity relationship of (aminoethoxy)indoles

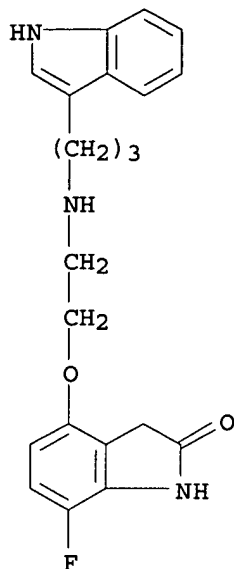
Young, Shawquia

15/05/2006

and -indolones)

RN 214078-67-8 HCAPLUS

CN 2H-Indol-2-one, 7-fluoro-1,3-dihydro-4-[2-[[3-(1H-indol-3-yl)propyl]amino]ethoxy]- (9CI) (CA INDEX NAME)



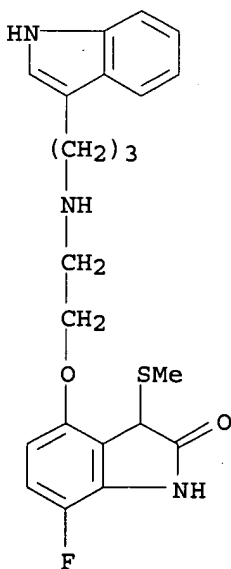
IT 214078-71-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation, dopamine agonist activity, serotonin and α -receptor binding, and structure activity relationship of (aminoethoxy)indoles and -indolones)

RN 214078-71-4 HCAPLUS

CN 2H-Indol-2-one, 7-fluoro-1,3-dihydro-4-[2-[[3-(1H-indol-3-yl)propyl]amino]ethoxy]-3-(methylthio)- (9CI) (CA INDEX NAME)



Young, Shawquia

15/05/2006

IT 214078-68-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, dopamine agonist activity, serotonin and α -receptor
binding, and structure activity relationship of (aminoethoxy)indoles
and -indolones)

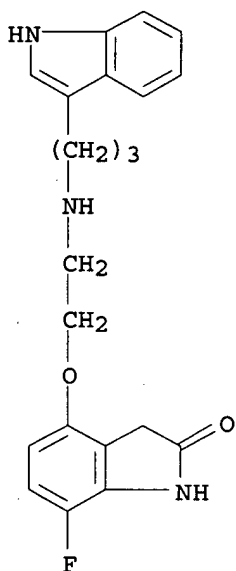
RN 214078-68-9 HCAPLUS

CN 2H-Indol-2-one, 7-fluoro-1,3-dihydro-4-[2-[[3-(1H-indol-3-
yl)propyl]amino]ethoxy]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 214078-67-8

CMF C21 H22 F N3 O2

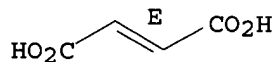


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

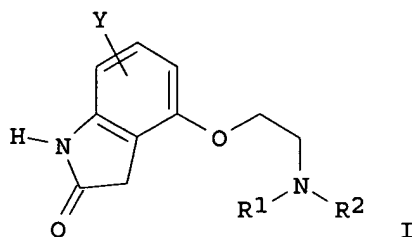
L4 ANSWER 20 OF 25 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 14 Oct 1998

GI

Young, Shawquia

15/05/2006



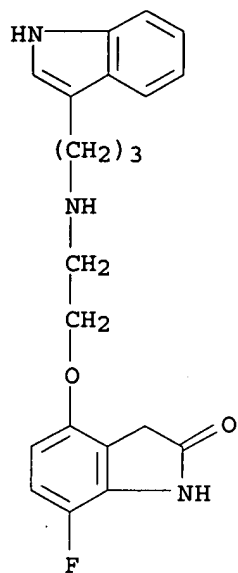
AB The title compds. [I; Y = H, halo, C1-6 alkoxy; R1 = H, C1-6 alkyl, C7-12 arylalkyl; R2 = H, C1-6 alkyl, (CH2)nXpAr (wherein X = O, C(O); Ar = C5-7 cycloalkyl, C6-12 aryl, C6-12 haloaryl, etc.; n = 1-6; p = 0-1); NR1R2 = 3,4-dihydro-1H-isoquinolinyl, 1,3-dihydro-isoindolyl] and their pharmaceutically acceptable salts, useful in the treatment of schizophrenia, Parkinson's disease, Tourette's syndrome, alc. addiction, cocaine addiction, and addiction to analogous drugs, were prepared. Thus, treatment of N-benzyl-N-[2-(3-chloro-1H-indol-4-yloxy)ethyl]carbamic acid tert-Bu ester with 85% H3PO4 in methoxyethanol afforded 86% I [Y = H; R1 = PhCH2; R2 = H] which showed IC50 of 0.41 nM against D2 receptor binding (Quin.).

ACCESSION NUMBER: 1998:650043 HCAPLUS
DOCUMENT NUMBER: 129:275834
TITLE: Preparation of 4-aminoethoxyindolones as inhibitors of dopamine synthesis and release
INVENTOR(S): Mewshaw, Richard Eric
PATENT ASSIGNEE(S): American Home Products Corporation, USA
SOURCE: U.S., 14 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5817690	A	19981006	US 1997-909800	19970812

PRIORITY APPLN. INFO.: US 1997-909800 19970812
OTHER SOURCE(S): MARPAT 129:275834
IT 214078-67-8P 214078-68-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-aminoethoxyindolones as inhibitors of dopamine synthesis and release)
RN 214078-67-8 HCAPLUS
CN 2H-Indol-2-one, 7-fluoro-1,3-dihydro-4-[2-[[3-(1H-indol-3-yl)propyl]amino]ethoxy]- (9CI) (CA INDEX NAME)

15/05/2006



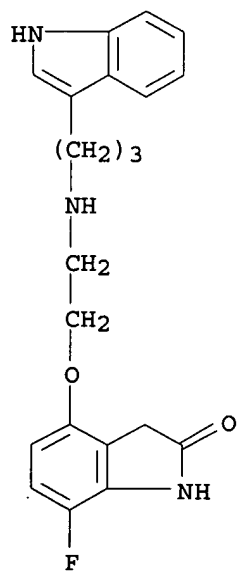
RN 214078-68-9 HCAPLUS

CN 2H-Indol-2-one, 7-fluoro-1,3-dihydro-4-[2-[[3-(1H-indol-3-yl)propyl]amino]ethoxy]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 214078-67-8

CMF C21 H22 F N3 O2



CM 2

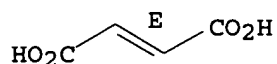
CRN 110-17-8

CMF C4 H4 O4

Young, Shawquia

15/05/2006

Double bond geometry as shown.



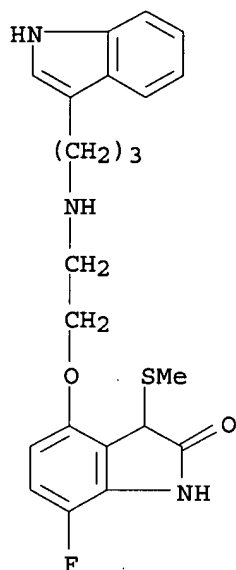
IT 214078-71-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 4-aminoethoxyindolones as inhibitors of dopamine synthesis and release)

RN 214078-71-4 HCAPLUS

CN 2H-Indol-2-one, 7-fluoro-1,3-dihydro-4-[2-[[3-(1H-indol-3-yl)propyl]amino]ethoxy]-3-(methylthio)- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

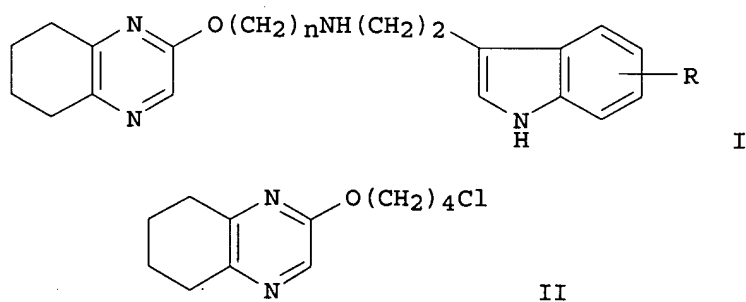
9

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 21 OF 25 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 30 Mar 1996

GI



Young, Shawquia

15/05/2006

AB The title compds. [I; R = H, halo, alkyl, alkoxy, PhCH₂O; n = 2-5], useful as antiemetics and in treating motion sickness and other serotonergic neuron system-related diseases, are prepared Refluxing a mixture of chloride II, tryptamine, NaI, and K₂CO₃ in MeCN gave 43% I (R = H, n = 4), which showed K_i of 17 nM against serotonin 1A and its HCl salt controlled vomiting at 3 mg/kg.

ACCESSION NUMBER: 1996:184023 HCAPLUS

DOCUMENT NUMBER: 124:317205

TITLE: Preparation of (quinoxalinyloxyalkyl)tryptamine derivatives having strong affinity of serotonin 1A receptor

INVENTOR(S): Watanabe, Hideyuki; Yaso, Masao; Mochizuki, Daisuke

PATENT ASSIGNEE(S): Asahi Chemical Ind, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07309867	A2	19951128	JP 1994-105770	19940519
PRIORITY APPLN. INFO.:			JP 1994-105770	19940519

OTHER SOURCE(S): MARPAT 124:317205

IT 174699-82-2P 174699-83-3P 174699-84-4P

174699-85-5P 174699-86-6P 174699-87-7P

174699-88-8P 174699-89-9P 174699-90-2P

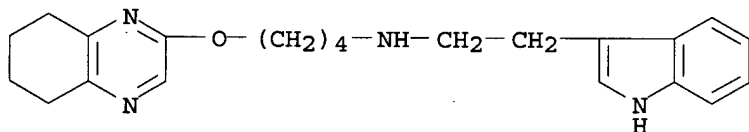
174699-91-3P 174699-92-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of (quinoxalinyloxyalkyl)tryptamine derivs. having strong affinity for serotonin 1A receptor)

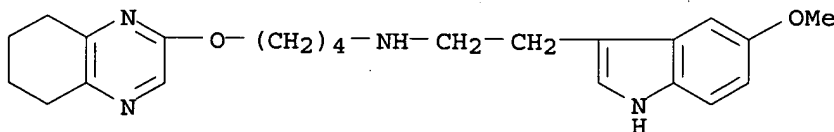
RN 174699-82-2 HCAPLUS

CN 1H-Indole-3-ethanamine, N-[4-[(5,6,7,8-tetrahydro-2-quinoxalinyloxy)butyl]- (9CI) (CA INDEX NAME)



RN 174699-83-3 HCAPLUS

CN 1H-Indole-3-ethanamine, 5-methoxy-N-[4-[(5,6,7,8-tetrahydro-2-quinoxalinyloxy)butyl]- (9CI) (CA INDEX NAME)

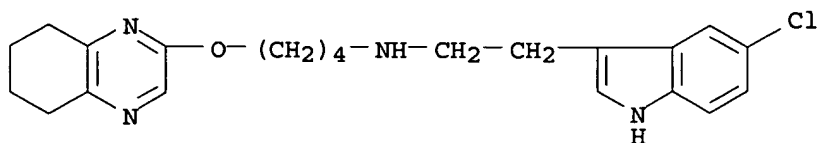


RN 174699-84-4 HCAPLUS

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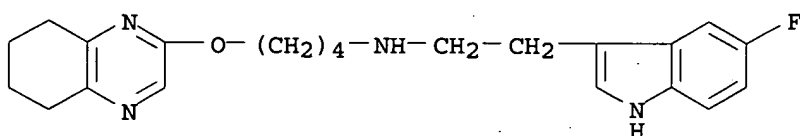
15/05/2006

CN 1H-Indole-3-ethanamine, 5-chloro-N-[4-[(5,6,7,8-tetrahydro-2-quinoxalinyloxy)butyl]- (9CI) (CA INDEX NAME)



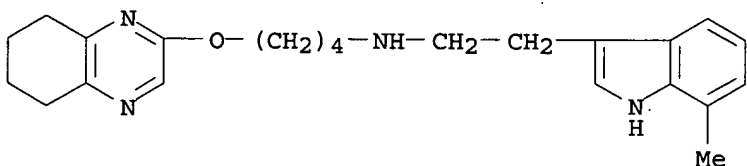
RN 174699-85-5 HCAPLUS

CN 1H-Indole-3-ethanamine, 5-fluoro-N-[4-[(5,6,7,8-tetrahydro-2-quinoxalinyloxy)butyl]- (9CI) (CA INDEX NAME)



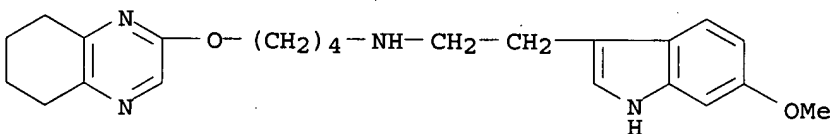
RN 174699-86-6 HCAPLUS

CN 1H-Indole-3-ethanamine, 7-methyl-N-[4-[(5,6,7,8-tetrahydro-2-quinoxalinyloxy)butyl]- (9CI) (CA INDEX NAME)



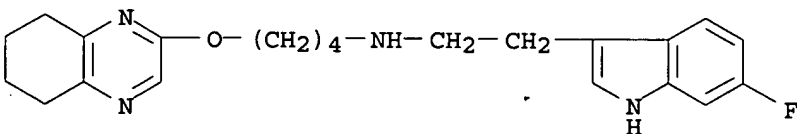
RN 174699-87-7 HCAPLUS

CN 1H-Indole-3-ethanamine, 6-methoxy-N-[4-[(5,6,7,8-tetrahydro-2-quinoxalinyloxy)butyl]- (9CI) (CA INDEX NAME)



RN 174699-88-8 HCAPLUS

CN 1H-Indole-3-ethanamine, 6-fluoro-N-[4-[(5,6,7,8-tetrahydro-2-quinoxalinyloxy)butyl]- (9CI) (CA INDEX NAME)

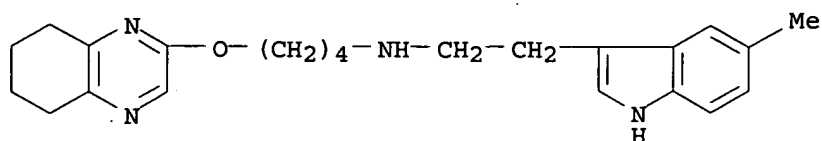


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15/05/2006

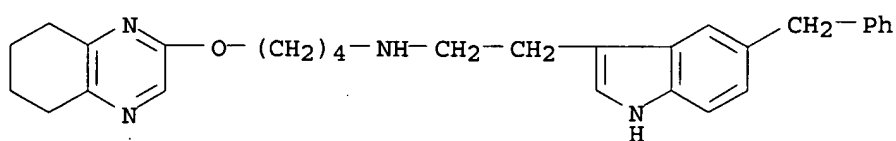
RN 174699-89-9 HCAPLUS

CN 1H-Indole-3-ethanamine, 5-methyl-N-[4-[(5,6,7,8-tetrahydro-2-quinoxalinyloxy]butyl]- (9CI) (CA INDEX NAME)



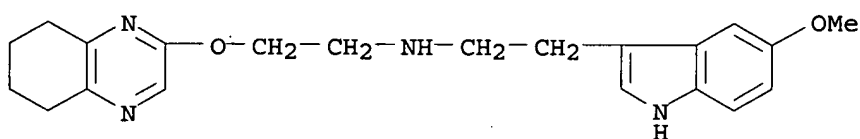
RN 174699-90-2 HCAPLUS

CN 1H-Indole-3-ethanamine, 5-(phenylmethyl)-N-[4-[(5,6,7,8-tetrahydro-2-quinoxalinyloxy]butyl]- (9CI) (CA INDEX NAME)



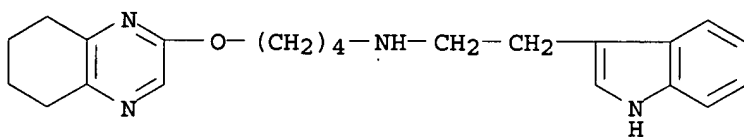
RN 174699-91-3 HCAPLUS

CN 1H-Indole-3-ethanamine, 5-methoxy-N-[2-[(5,6,7,8-tetrahydro-2-quinoxalinyloxy]ethyl]- (9CI) (CA INDEX NAME)



RN 174699-92-4 HCAPLUS

CN 1H-Indole-3-ethanamine, N-[4-[(5,6,7,8-tetrahydro-2-quinoxalinyloxy]butyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L4 ANSWER 22 OF 25 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 12 May 1984

GI For diagram(s), see printed CA Issue.

AB The title compds. (I) have a strong sedative, anticonvulsive, and analgetic activities, as well as strong and prolonged hypotensive actions. A mixture of 93 g. guaiacol, 75 ml. (CH₂Br)₂, 20 g. NaOH, and 500 ml. H₂O was refluxed 24 hrs. and cooled, the aqueous layer separated and extracted with Et₂O,

Young, Shawquia

15/05/2006

the extract combined with the organic layer, dried, and fractionated in vacuo to

give o-(2-bromoethoxy)anisole (II), b₁₅ 146-55°, m. 43-5°.

A solution of 7.24 g. II in 50 ml. MeCOEt and 5.25 g. NaI was refluxed 30 min. and filtered, the filtrate added to a solution of 31.8 millimoles N-benzyl-5-methoxytryptamine (III) in 50 ml. MeCOEt, the mixture treated with 5 ml. Et₃N, diluted to 125 ml. with MeCOEt, and refluxed 24 hrs., the solvent removed in vacuo, the residue dissolved in CHCl₃, the solution shaken with a mixture of H₂O and 16 ml. 2N NaOH, the aqueous layer separated and extracted with

CHCl₃, and the combined CHCl₃ solns. distilled to dryness in vacuo. The residue dissolved in 50 ml. Me₂CO was treated with a solution of 4.01 g. (CO₂H)₂.2H₂O in 25 ml. Me₂CO, diluted with 125 ml. Et₂O and filtered to give 14.8 g. I oxalate (R₁ = 5-MeO, R₂ = o-MeO, R₃ = H, R₄ = PhCH₂) (Ia oxalate), m. 165-6° (decomposition). A suspension of 5.2 g. Ia oxalate in H₂O containing 10 ml. 2N NaOH was stirred and extracted with CHCl₃, the

extract

evaporated in vacuo to dryness, the residue (free base) dissolved in AcOH, and reduced with H at 70-80° for 150 min. over 1 g. 10% Pd/C catalyst, followed by a further reduction with H for 15 hrs. in the presence of 15 ml. PdCl₂ solution and 1 g. active C. The mixture was worked up in the usual manner to give I oxalate (R₁ = 5-MeO, R₂ = o-MeO, R₃ = R₄ = H) (Ib oxalate), m. 163-5° (decomposition) (EtOH). Similarly obtained was Ib acetate, m. 119-20.5°. A solution of PhOCH₂CH₂I (prepared by boiling 2.01 g. PhOCH₂CH₂Br and 1.5 g. NaI in 25 ml. MeCOEt for 30 min.) was treated with 3.7 g. III as above and the resulting I (R₁ = 5-MeO, R₂ = R₃ = H, R₄ = PhCH₂) similarly hydrogenated to give I (R₁ = 5-MeO, R₂ = R₃ = R₄ = H), oxalate m. 177-80° (decomposition); acetate m. 149-52° (decomposition). The following I were similarly prepared (R₁, R₂, R₃, R₄, m.p. oxalate, and m.p. acetate given): 5-MeO, p-MeO, H, PhCH₂, 149-52° (decomposition); -, -, 5-MeO, p-MeO, H, H, -, 124.5-26° (decomposition); 5,6-(MeO)₂, o-MeO, H, PhCH₂, 125-30°, -, -, 5,6-(MeO)₂, o-MeO, H, H, 169-73° (decomposition); -, -, 6-MeO, o-MeO, H, PhCH₂, 165-7° (decomposition); -, -, 6-MeO, o-MeO, H, H, -, 138-9° (decomposition); 6-MeO, o-MeO, H, Et, 174-5° (decomposition); -, -, 5-MeO, o-MeO, H, Et, 162-4° (decomposition); -. A solution of 1.90 g. 5-methoxytryptamine (IV) and 2 g. phenoxyacetone in 40 ml. EtOH was treated with H at room temperature for 1 hr. in the presence of 100 mg. PtO₂ and the residue worked up and treated with AcOH to give 2.49 g. I (R₁ = 5-MeO, R₂ = H, R₃ = Me, R₄ = H), m. 126-8° (decomposition). In a similar manner 5-benzoyltryptamine and o-methoxyphenoxyacetaldehyde in EtOH gave I acetate (R₁ = OH, R₂ = o-MeO, R₃ = R₄ = H), m. 210-13° (decomposition). A mixture of 960 mg. II, 620 mg. NaI, and 25 ml. MeCOEt was boiled 30 min. and filtered the filtrate treated with 790 mg. IV and 450 mg. Et₃N and treated and worked up as above to give I (R₁ = 5-MeO, R₂ = o-MeO, R₃ = H, R₄ = o-MeOC₆H₄CH₂CH₂), m. 159.5-62° (decomposition).

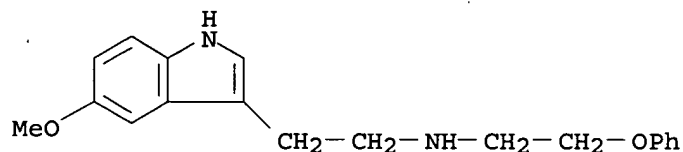
ACCESSION NUMBER: 1968:435943 HCAPLUS
DOCUMENT NUMBER: 69:35943
TITLE: 5- and 6-Methoxy-3-(phenoxyethylaminoethyl) indoles
INVENTOR(S): Kralt, Teunis; Zwagemakers, Johannes M. A.
PATENT ASSIGNEE(S): North American Philips Co., Inc.
SOURCE: U.S., 5 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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Young, Shawquia

15/05/2006

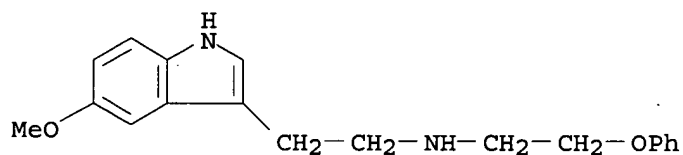
US 3371098 A 19680227 US 1966-597796 19661129
PRIORITY APPLN. INFO.: US 1966-597796 A 19661129
IT 4633-48-1
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(biol. activity of)
RN 4633-48-1 HCAPLUS
CN Indole, 5-methoxy-3-[2-[(2-phenoxyethyl)amino]ethyl]- (7CI, 8CI) (CA INDEX NAME)



IT 4463-62-1P 4527-79-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 4463-62-1 HCAPLUS
CN Indole, 5-methoxy-3-[2-[(2-phenoxyethyl)amino]ethyl]-, acetate (8CI) (CA INDEX NAME)

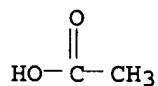
CM 1

CRN 4633-48-1
CMF C19 H22 N2 O2



CM 2

CRN 64-19-7
CMF C2 H4 O2



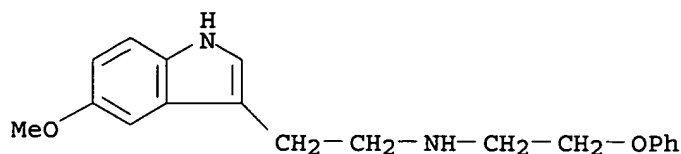
RN 4527-79-1 HCAPLUS
CN Indole, 5-methoxy-3-[2-[(2-phenoxyethyl)amino]ethyl]-, oxalate (8CI) (CA INDEX NAME)

CM 1

CRN 4633-48-1
CMF C19 H22 N2 O2

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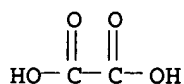
15/05/2006



CM 2

CRN 144-62-7

CMF C2 H2 O4



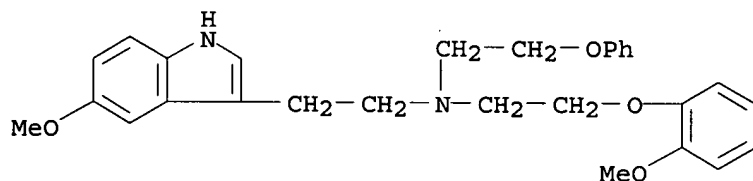
L4 ANSWER 23 OF 25 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 22 Apr 2001
GI For diagram(s), see printed CA Issue.
AB The synthesis of compds. of type I is described. Thus, D-(+)-pulegone (100 g.) on oxidation with 210 g. KMnO_4 gave D-(+)- β -methyladipic acid, m. $80\text{--}2^\circ$ (petr. ether-Et₂O), $[\alpha]_{20D} 11^\circ$ (CHCl_3); dimethyl ester (II) b₁₄ $110\text{--}15^\circ$, $[\alpha]_{20D} 7^\circ$. II (750 g.) in 750 ml. C_6H_6 was added dropwise to a suspension of 480 g. 50% NaNH_2 in 4.5 l. xylene- C_6H_6 . After 2 hrs. heating, the reaction mixture was worked up to give Me D-(+)-4-methylcyclopentan-2-onecarboxylate (III), b₁₄ $100\text{--}5^\circ$, $[\alpha]_{20D} 86^\circ$ (CHCl_3). Diazotized m-anisidine (360 ml.) was added dropwise to an emulsion of 500 g. III in 3.2 l. H_2O and 840 g. NaOAc at 0° and the product extracted with Et₂O. After elimination of the solvent in vacuo, the residue was saponified with 5% NaOH to yield m-methoxyphenylhydrazone of D-(+)-2-oxo-4-methyladipic acid, m. $136\text{--}8^\circ$ (petr. ether), $[\alpha]_{20D} 13^\circ$ (EtOH); dimethyl ester (IV) b_{0.05} $185\text{--}95^\circ$, $[\alpha]_{20D} 5^\circ$ (EtOH). IV (300 g.) was heated to $85\text{--}90^\circ$ for 1.5 hrs. in a pressure vessel in 3 l. absolute EtOH containing HCl (20% by volume) to give I ($\text{R} = \text{R}' = \text{CO}_2\text{Me}$), b_{0.05} $180\text{--}200^\circ$, m. $112\text{--}13^\circ$ (petr. ether-Et₂O), $[\alpha]_{20D} 4.2^\circ$ (CHCl_3); the corresponding acid I ($\text{R} = \text{R}' = \text{CO}_2\text{H}$) (V) m. $209\text{--}11^\circ$ (Et₂O), $[\alpha]_{20D} 10.4$ (EtOH). V (100 g.) on heating in quinaldine (300 ml.) and Cu powder for 1.5 hrs. at $215\text{--}20^\circ$ gave I ($\text{R} = \text{H}$, $\text{R}' = \text{CO}_2\text{H}$), m. $98\text{--}9^\circ$, $[\alpha]_{20D} 16^\circ$ (EtOH); methyl ester (VI) b_{0.05} $160\text{--}70^\circ$, $[\alpha]_{20D} 6.5^\circ$ (CHCl_3). VI (9.4 g.) on heating with fivefold quantity $\text{NH}_2\text{NH}_2 \cdot \text{H}_2\text{O}$ for 1.5 hrs. gave I ($\text{R} = \text{H}$, $\text{R}' = \text{CONHNH}_2$) (VII), m. $159\text{--}60^\circ$, $[\alpha]_{20D} 9.2^\circ$ ($\text{C}_5\text{H}_5\text{N}$). A solution of 690 mg. NaNO_2 in 10 ml. H_2O was added dropwise to 2.47 g. VII dissolved in 30 ml. AcOH at 0° . This yellow solution was poured in to boiling N HCl and refluxed 5 min. Work-up gave D-(+)-1-oxo-4-methyl-7-methoxy-1,2,3,4-tetrahydro- β -carboline (VIII), m. $171\text{--}2^\circ$ (MeOH); $[\alpha]_{20D} 16^\circ$ (CHCl_3). VIII (1.86 g.) in 33 ml. EtOH was refluxed 5 hrs. with 5.8 g. KOH in 21 ml. H_2O , the solution cooled to 0° and 13.8 g. concentrated HCl added to yield I ($\text{R} = \text{CO}_2\text{H}$, $\text{R}' = \text{NH}_2$) (IX), m. $230\text{--}2^\circ$. IX was decarboxylated by heating (1 hr.) to give I ($\text{R} = \text{H}$, $\text{R}' = \text{NH}_2$), b_{0.05} $140\text{--}50^\circ$; D-tartrate m. $216\text{--}17^\circ$ (EtOH), $[\alpha]_{20D} 18^\circ$. I ($\text{R} = \text{H}$, $\text{R}' =$

15/05/2006

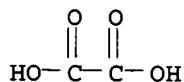
CONHCO₂CH₂Ph) is also described.

ACCESSION NUMBER: 1966:11412 HCAPLUS
DOCUMENT NUMBER: 64:11412
ORIGINAL REFERENCE NO.: 64:2058g-h,2059a-c
TITLE: D-(+)-2-(6'-Alkoxy-3'-indolyl)propylamines
PATENT ASSIGNEE(S): Sandoz Patents Ltd.
SOURCE: 11 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1004661		19650915	GB	
US 3211744		19651012	US 1961-134847	19610830
PRIORITY APPLN. INFO.:			CH	19600902
IT 4463-72-3, Indole, 5-methoxy-3-[2-[[2-(o-methoxyphenoxy)ethyl](2-phenoxyethyl)amino]ethyl]-, oxalate 4633-58-3, Indole, 5-methoxy-3-[2-[[2-(o-methoxyphenoxy)ethyl](2-phenoxyethyl)amino]ethyl]- (preparation of)				
RN 4463-72-3 HCAPLUS				
CN Indole, 5-methoxy-3-[2-[[2-(o-methoxyphenoxy)ethyl](2-phenoxyethyl)amino]ethyl]-, oxalate (8CI) (CA INDEX NAME)				
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CRN 4633-58-3				
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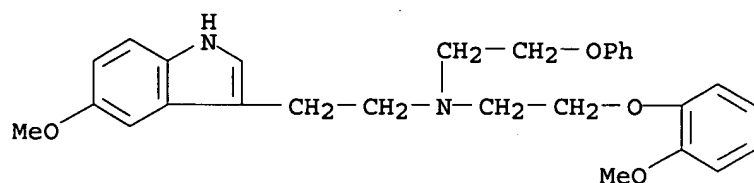


CM 2
CRN 144-62-7
CMF C2 H2 O4



RN 4633-58-3 HCAPLUS
CN Indole, 5-methoxy-3-[2-[[2-(o-methoxyphenoxy)ethyl](2-phenoxyethyl)amino]ethyl]- (7CI, 8CI) (CA INDEX NAME)

15/05/2006



L4 ANSWER 24 OF 25 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 22 Apr 2001

GI For diagram(s), see printed CA Issue.

AB Secondary and tertiary indolyethylamines of the general formula I were prepared for use as pharmaceuticals; in formula I, Ar is a substituted or unsubstituted phenyl group, R1 and R2 are MeO and(or) H, R3 = H or Me, and R4 is H or Et. o-MeOC6H4OH (93 g.), 75 cc. (CH2Br)2, 20 g. NaOH, and 500 cc. H2O refluxed 24 hrs. yielded o-BrCH2CH2OC6H4OMe (II), b15 146-55°, m. 43-5°. II (7.24 g.) in 50 cc. EtAc refluxed 0.5 hr. with 5.25 g. NaI, filtered, and added to 31.8 millimoles N-benzyl-5-methoxytryptamine (III) in 50 cc. EtAc, the mixture treated with 5 cc. Et3N, diluted with AcEt to 125 cc., and refluxed 24 hrs., and the product treated in 50 cc. Me2CO with 4.01 g. (CO2H)2.2H2O in 25 cc. Me2CO yielded 14.8 g. I. (CO2H)2 (R1 = MeO, R2 = R3 = H, R4 = PhCH2, Ar = o-MeOC6H4) [IV. (CO2H)2], m. 165-6° (decomposition) (EtOH). IV. (CO2H)2 (5.2 g.) in H2O treated with stirring with 10 cc. 2N NaOH and extracted with CHCl3, the residue from the extract hydrogenated 2.5 hrs. at 70-80° in AcOH over 1 g. 10% Pd-C, treated with 15 cc. PdCl2 solution and 1 g. C, and again hydrogenated 15 hrs., and the oily product (2.98 g.) in 15 cc. Me2CO treated with 1.26 g. (CO2H)2.2H2O in 10 cc. Me2CO yielded 2.69 g. I (R1 = MeO, R2 = R3 = R4 = H, Ar = o-MeOC6H4) oxalate. PhOCH2-CH2Br (2.01 g.) and 1.5 g. NaI in 25 cc. AcEt refluxed 0.5 hr., filtered, treated with 3.7 g. III in 20 cc. AcEt and 1.5 cc. Et3N, and refluxed 24 hrs., and the resulting I (R1 = MeO, R2 = R3 = H, R4 = PhCH2, Ar = Ph) hydrogenolyzed in AcOH and treated with (CO2H)2 in Me2CO yielded 2.09 g. I (R1 = 5-MeO, R2 = R3 = R4 = H, Ar = Ph) (V) oxalate, m. 177-80° (decomposition) (Me2CO); V acetate m. 149-52° (decomposition). Similarly were prepared the I (R4 = H) listed in the table. 5-Methoxytryptamine (1.90 g.) and 2 g. PhOCH2Ac in 40 cc. EtOH hydrogenated 1 hr. under ambient conditions over 100 mg. PtO2, and the product in Me2CO treated with 1 g. AcOH in Me2CO yielded 2.49 g. acetate of I (R1 = MeO, R2 = R4 = H, R3 = Me, Ar = Ph), m. 126-8° (decomposition). R1, R2, R3, Ar, Salt isolated, M.p. of salt, M.p. of oxalate of N-PhCH2 derivative; MeO, H, H, p-MeOC6H4, acetate, 124.5-26° (decomposition), 149-52°; MeO, MeO, H, o-MeC6H4, oxalate, 169-73° (decomposition), 125-30°; H, MeO, H, o-MeOC6H4, acetate, 138-9° (decomposition), 165-7° (decomposition); H, MeO, Et, o-MeOC6H4, oxalate, 74-5°, --; MeO, H, Et, o-MeOC6H4, oxalate, 162-4°, --; 5-Benzyloxytryptamine and o-MeOC6H4OCH2CHO in EtOH hydrogenated at 40° over PtO2 yielded I (R1 = OH, R2 = R3 = R4 = H, Ar = o-MeOC6H4), isolated as the acetate, m. 210-13° (decomposition). o-(BrCH2CH2O)-C6H4OMe (960 mg.) and 620 mg. NaI in 25 cc. AcEt refluxed 0.5 hr., filtered, treated with 790 mg. 5-methoxytryptamine and 425 mg. Et3N, diluted with AcEt to 30 cc., and refluxed 26 hrs., and the product treated in Me2CO with (CO2H)2 gave 780 mg. oxalate of I (R1 = MeO, R2 = R3 = H, R4 = o-MeOC6H4OCH2-CH2, Ar = o-MeOC6H4), m. 159.5-62° (decomposition). The physiological properties of the various I were determined

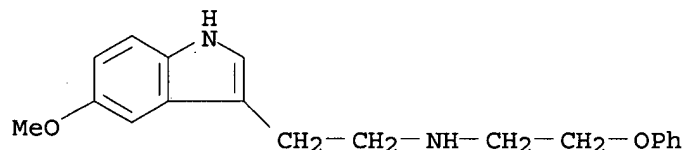
ACCESSION NUMBER: 1966:11411 HCAPLUS

Young, Shawquia

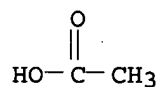
15/05/2006

DOCUMENT NUMBER: 64:11411
ORIGINAL REFERENCE NO.: 64:2058b-g
TITLE: Indolyethylamines
PATENT ASSIGNEE(S): N.V. Philips' Gloeilampenfabrieken
SOURCE: 19 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	NL 6403114		19650927	NL 1964-3114	19640324
IT	4463-62-1, Indole, 5-methoxy-3-[2-[(2-phenoxyethyl)amino]ethyl]-, acetate 4463-72-3, Indole, 5-methoxy-3-[2-[[2-(o-methoxyphenoxy)ethyl](2-phenoxyethyl)amino]ethyl]-, oxalate 4527-79-1, Indole, 5-methoxy-3-[2-[(2-phenoxyethyl)amino]ethyl]-, oxalate 4633-48-1, Indole, 5-methoxy-3-[2-[(2-phenoxyethyl)amino]ethyl]- (preparation of)				
RN	4463-62-1 HCAPLUS				
CN	Indole, 5-methoxy-3-[2-[(2-phenoxyethyl)amino]ethyl]-, acetate (8CI) (CA INDEX NAME)				
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CRN	4633-48-1				
CMF	C19 H22 N2 O2				



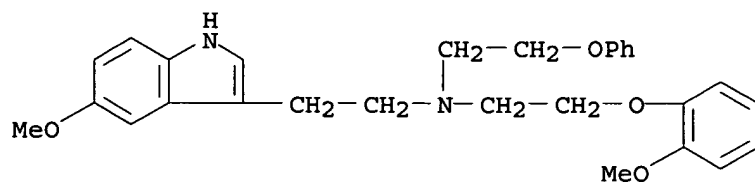
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RN 4463-72-3 HCAPLUS
CN Indole, 5-methoxy-3-[2-[[2-(o-methoxyphenoxy)ethyl](2-phenoxyethyl)amino]ethyl]-, oxalate (8CI) (CA INDEX NAME)
CM 1
CRN 4633-58-3
CMF C28 H32 N2 O4

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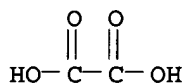
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CM 2

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CMF C2 H2 O4



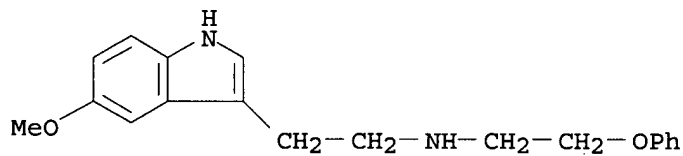
RN 4527-79-1 HCAPLUS

CN Indole, 5-methoxy-3-[2-[(2-phenoxyethyl)amino]ethyl]-, oxalate (8CI) (CA INDEX NAME)

CM 1

CRN 4633-48-1

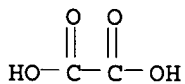
CMF C19 H22 N2 O2



CM 2

CRN 144-62-7

CMF C2 H2 O4

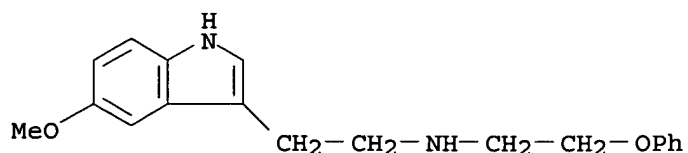


RN 4633-48-1 HCAPLUS

CN Indole, 5-methoxy-3-[2-[(2-phenoxyethyl)amino]ethyl]- (7CI, 8CI) (CA INDEX NAME)

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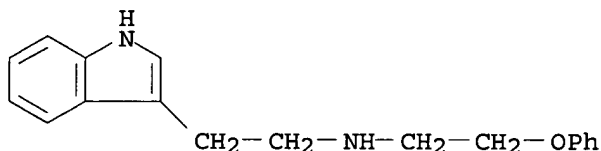
L4 ANSWER 25 OF 25 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 22 Apr 2001
GI For diagram(s), see printed CA Issue.
AB cf. CA 58, 13880h. The title compds. are models of reserpine and have some hypotensive and central depressing activity; this activity is of short duration and evidently of a different mechanism than that of reserpine. Tryptamine (I) (5.45 g.) in 45 ml. anhydrous C₆H₆N treated with 5.4 g. 4-FC₆H₄COC₂Cl (b₁₅ 70°), the mixture kept overnight at room temperature, heated 30 min. at 70-80°, cooled, poured into 400 ml. ice-cold H₂O, and the solid filtered off and washed (4N HCl, 10% NaHCO₃, H₂O) gave 8.8 g. 4-fluorobenztryptamide, m. 144-5° (60% EtOH). I (3.76 g.) in 140 ml. C₆H₆ treated in 5 min. with 2.71 g. 3,4,5-(MeO)₃C₆H₂COC₂Cl (m. 78-80°), the mixture refluxed 30 min., cooled, washed with 100 ml. N HCl, and filtered gave 4.04 g. 3,4,5-trimethoxybenztryptamide, m. 151° (85% MeOH); another crystalline modification m. 209-10° (95% MeOH). I (11.3 g.) and 12.8 g. 2-methoxyphenoxyacetic acid heated 30 min. at 200-10°, the mixture cooled, and the product crystallized from MeOH gave 21.45 g. 2-methoxyphenoxyacetic acid tryptamide, m. 169° (EtOH). Similarly were prepared the following N-acyltryptamines (acyl group, % yield, m.p. and solvent given): 2-methoxybenzoyl, 82, 168-9° (90% EtOH); 2,3-dimethoxybenzoyl, 88, 153-4° (60% EtOH); 3,4-dimethoxybenzoyl, 89, 179-80° (tetrahydrofuran-Et₂O); 3,5-dimethoxybenzoyl, 75, - (amorphous); 3,5-dimethoxy-4-(ethoxycarbonyloxy)benzoyl (II), 74, 133-4° (80% EtOH); phenoxyacetyl (III), 90, 139-40° (MeOH); 3-methoxyphenoxyacetyl, 62, 105° (EtOH); 4-methoxyphenoxyacetyl, 91, 144° (EtOH); 3,4,5-trimethoxyphenoxyacetyl, 76, 144-6° (MeOH). I (8 g.), 7.46 g. 4-Me₂NC₆H₄CHO, and 20 ml. anhydrous MeOH refluxed 90 min., the mixture cooled, and diluted with 4 ml. H₂O gave 12.5 g. N-(4-dimethylaminobenzylidene)tryptamine, m. 144-5° (80% EtOH). Similarly were prepared N-(3-methoxybenzylidene)tryptamine (IV), 95%, m. 127° (75% EtOH); and N-(4-methoxybenzylidene)tryptamine (V), 95%, m. 119° (75% EtOH). III (14.4 g.), 6 g. LiAlH₄, and 500 ml. anhydrous Et₂O refluxed 20 hrs., the mixture cooled, decomposed with 50 ml. 10% NaOH, and the Et₂O layer filtered and treated with anhydrous HCl in Et₂O gave 74% HCl salt of VII (R = H), m. 210° (MeOH). Similarly were obtained the following VI and VII (R, % yield, and m.p. of the HCl salt given): VI, 4-F, 80, 240-1°; VI, 2-OMe, 95, 228-9°; VI, 2,3-(OMe)₂, 60, 201-2°; VI, 3,4-(OMe)₂, 75, 240-1°; VI, 3,5-(OMe)₂, 94, 194°; VI, 3,4,5-(OMe)₃, 37, 229°; VII, 2-OMe, 50, - (picrate m. 165-7°) (70% EtOH); VII, 3-OMe, 70, 180-1°; VII, 4-OMe, 53, 220-1° VII, 3,4,5-(OMe)₃, 20, 175-6°. IV (6.5 g.) in 150 ml. 80% EtOH reduced with 2.2 g. NaBH₄ in 5 min., the mixture refluxed 25 min., cooled, treated with 14 ml. AcOH, evaporated in vacuo to dryness, the residue diluted with H₂O, the mixture made alkaline with NH₄OH, extracted with Cl(CH₂)₂Cl, the extract dried (K₂CO₃), evaporated, the residue dissolved in 80 ml. anhydrous Et₂O, and the solution treated with anhydrous HCl in Et₂O gave 6.3 g. HCl salt of VI (R = 3-OMe), m. 161-2° (EtOH-Et₂O). Similarly was prepared VI (R = 4-OMe), 60%; HCl salt m. 212-14°. V (7.5 g.) in 40

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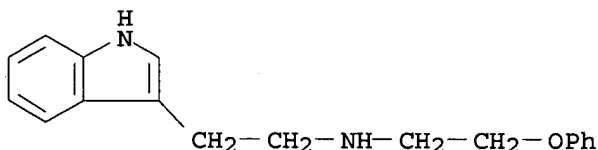
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ml. anhydrous EtOH treated with 50 ml. 25% HCl in EtOH, the mixture refluxed 1 hr., and the solution cooled gave 6.1 g. HCl salt of VIII (R = 4-OMe), m. 273-4° (EtOH); free base m. 164-6° (C6H6-petr. ether). Similarly were obtained: VIII (R = 3-OMe), 95%, m. 140-1° (petr. ether) [HCl salt m. 252-4° (EtOH)]; VIII (R = 4-NMe2), 87% yield, m. 168-9° (C6H6-petr. ether). II (7 g.), 70 ml. concentrated NH4OH, and 70 ml. EtOH refluxed 1 hr. and the solution cooled gave 5.2 g. 3,5-dimethoxy-4-hydroxybenztryptamide, m. 112° (50% EtOH).

ACCESSION NUMBER: 1963:435473 HCAPLUS
DOCUMENT NUMBER: 59:35473
ORIGINAL REFERENCE NO.: 59:6344a-h
TITLE: Synthetic experiments with hypotensive alkaloids. XXV.
3-(2-Benzylaminoethyl)indole and 3-[2-(2-phenoxyethylamino)ethyl]indole derivatives
AUTHOR(S): Protiva, M.; Vejdezlek, Z. J.; Rajsner, M.
CORPORATE SOURCE: Pharm. Res. Inst., Prague
SOURCE: Collection of Czechoslovak Chemical Communications
(1963), 28, 629-36
CODEN: CCCCAK; ISSN: 0010-0765
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
IT 803665-45-4, Indole, 3-[2-[(2-phenoxyethyl)amino]ethyl]-
(derivs.)
RN 803665-45-4 HCAPLUS
CN 1H-Indole-3-ethanamine, N-(2-phenoxyethyl)- (9CI) (CA INDEX NAME)



IT 100323-88-4, Indole, 3-[2-[(2-phenoxyethyl)amino]ethyl]-,
hydrochloride
(preparation of)
RN 100323-88-4 HCAPLUS
CN Indole, 3-[2-[(2-phenoxyethyl)amino]ethyl]-, hydrochloride (7CI) (CA
INDEX NAME)



●x HCl

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